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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN	0.2	STN pricing information for 2008 now available
NEWS		JAN		CAS patent coverage enhanced to include exemplified
	-			prophetic substances
NEWS	4	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
	-			custom IPC display formats
NEWS	5	JAN	28	MARPAT searching enhanced
NEWS	6	JAN		USGENE now provides USPTO sequence data within 3 days
				of publication
NEWS	7	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS		JAN		MEDLINE and LMEDLINE reloaded with enhancements
NEWS		FEB		STN Express, Version 8.3, now available
NEWS				PCI now available as a replacement to DPCI
NEWS				IFIREF reloaded with enhancements
NEWS				IMSPRODUCT reloaded with enhancements
NEWS				WPINDEX/WPIDS/WPIX enhanced with ECLA and current
MEND	13	LUD	23	U.S. National Patent Classification
NEWS	1.4	MAR	2.1	IFICDB, IFIPAT, and IFIUDB enhanced with new custom
MEMO	14	LIMI	31	IPC display formats
NEWS	15	MAR	31	CAS REGISTRY enhanced with additional experimental
NEWD	10	THILL	31	spectra
NEWS	16	MAR	21	CA/CAplus and CASREACT patent number format for U.S.
MEND	10	THILL	31	applications updated
NEWS	17	MAR	31	LPCI now available as a replacement to LDPCI
NEWS				EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS		APR		STN AnaVist, Version 1, to be discontinued
NEWS				WPIDS, WPINDEX, and WPIX enhanced with new
NEWO	20	PIL IX	10	predefined hit display formats
NEWS	21	APR	28	EMBASE Controlled Term thesaurus enhanced
NEWS		APR		IMSRESEARCH reloaded with enhancements
NEWS		MAY		INPAFAMDB now available on STN for patent family
MEMO	23	TIME	50	searching
NEWS	2.4	MAY	20	DGENE, PCTGEN, and USGENE enhanced with new homology
NEWO	24	TIPLI	50	sequence search option
NEWS	25	JUN	06	EPFULL enhanced with 260,000 English abstracts
NEWS		JUN		KOREAPAT updated with 41,000 documents
NEWS		JUN		USPATFULL and USPAT2 updated with 11-character
MEMO	21	0.014	13	patent numbers for U.S. applications
NEWS	20	JUN	10	CAS REGISTRY includes selected substances from
MEMP	20	OON	13	web-based collections
NEWS	20	JUN	25	CA/CAplus and USPAT databases updated with IPC
MEMP	25	0.014	23	reclassification data
NEWS	3.0	JUN	3.0	AEROSPACE enhanced with more than 1 million U.S.
MEMO	50	OON	50	patent records
NEWS	2.1	JUN	20	EMBASE, EMBAL, and LEMBASE updated with additional
MEMO	J 1	OON	50	options to display authors and affiliated
				operons to display authors and arritiated

organizations

NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist

Assistant and BLAST plug-in

NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3. AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

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FILE 'HOME' ENTERED AT 10:03:47 ON 15 JUL 2008

=> file req

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 14 JUL 2008 HIGHEST RN 1034013-75-6 DICTIONARY FILE UPDATES: 14 JUL 2008 HIGHEST RN 1034013-75-6

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http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Program Files\STNEXP\Queries\10599488.str

STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

Structure attributes must be viewed using STN Express query preparation.

=> s 11 exact sam SAMPLE SEARCH INITIATED 10:04:45 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 80
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA EXA SAM L1

=> s 11 sss sam SAMPLE SEARCH INITIATED 10:04:56 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3 TO 163
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L1

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100.0% PROCESSED 23 ITERATIONS

SEARCH TIME: 00.00.01

6 ANSWERS

179.03

6 SEA SSS FUL L1

=> file cap

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FILE COVERS 1907 - 15 Jul 2008 VOL 149 ISS 3 FILE LAST UPDATED: 14 Jul 2008 (20080714/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s 14 1.5

1 T.4

=> d ibib abs hitstr

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1123882 CAPLUS

DOCUMENT NUMBER: 143:405796

TITLE: Preparation of arovl-substituted pyrrolidines as

histamine H3 receptor ligands

Beavers, Lisa Selsam; Finley, Don Richard; Finn, Terry INVENTOR(S): Patrick; Gadski, Robert Alan; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Takakuwa, Takako; Vaught, Grant

Mathews

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION.

| | TENT | | | | KIND DATE | | | | | | | | | | | | | | |
|----------|------------------------|------|-----|------|-----------------------|----------|----------------|----------------|----------------|--------------------------------|----------|-----|----------|-----|-----|----------|-----|----|--|
| | | | | | | | | | | WO 2005-US10240 | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | | |
| | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | | | | | | MW, | | | | | | | | | | | | |
| | | ΑZ, | BY, | KG, | ΚZ, | MD, | RU, | ΤJ, | TM, | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | | |
| | | | | | | | GR, | | | | | | | | | | | | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | | |
| | | MR, | ΝE, | SN, | TD, | TG | | | | | | | | | | | | | |
| | | | | | | | | AU 2005-230881 | | | | | | | | | | | |
| | | | | | | | | | | CA 2005-2561628 | | | | | | | | | |
| EP | SP 1735278 | | | | | | | | EP 2005-730691 | | | | | | | | | | |
| | R: | | | | | | CZ, | | | | | | | | | | | | |
| | | | | | | | MC, | | | | | | | | | | | | |
| CN | 1960 | A | | 2007 | 0509 | | CN 2 | 005- | 8001 | | 20050325 | | | | | | | | |
| BR | 2005 | 0092 | 98 | | A | 20070918 | | | | BR 2005-9298
JP 2007-506412 | | | | | | 20050325 | | | |
| JP | 2007 | 5306 | T | | 2007 | 1101 | JP 2007-506412 | | | | | | 20050325 | | | | | | |
| | 2006 | | | | | | | | | | | | | | | | | | |
| | 2007 | | | | | | | | | | | | | | | | | | |
| | IN 2006KN02868 | | | | | | | 0608 | | | | | | | | | | | |
| PRIORIT: | PRIORITY APPLN. INFO.: | | | | | | | | | | 004- | | | | | | | | |
| | | | | | | | | | | | 004- | | | | | | | | |
| | | | | | WO 2005-US10240 W 200 | | | | | | | | 0050 | 325 | | | | | |
| OTHER SO | OURCE | (S): | | | CAS | REAC | T 14 | 3:40 | 5796 | ; MA | RPAT | 143 | :405 | 796 | | | | | |

Ι

II

- AB Title compds. I [Q, T, X, D = C, N provided no more than two are N; Rl-3 = H, halo, alkyl, CN, carboxy, etc.; R4-5 = H, OH, halo, CF2H, etc.; R6 = H, halo, CF3, etc.] are prepared For instance, II is prepared in 3 steps from 4-(trifluoromethyl)phenylboronic acid, Me 4-bromobenzoate and (S)-1-(2-pyrrolidinylmethyl)pyrrolidine. Example compds. exhibit affinity for the H3 receptor greater than 1 µM. I have histamine-H3 receptor antagonist or inverse agonist activity with affinity for the H3 receptor greater than 1 µM. I are useful for the treatment of obesity, countive deficiencies, narcolepsy, and other histamine H3
 - cognitive deficiencies, narolepsy, and other histamine H3
 receptor-related diseases.

 IT 867255-05-8P 867255-06-9P 867255-15-0P
 867255-62-11-P 867256-22-2P 867256-31-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands)

- RN 867255-05-8 CAPLUS
- CN Methanone, [3-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867255-06-9 CAPLUS
- CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-15-0 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867256-21-1 CAPLUS

CN Methanone, [3-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-22-2 CAPLUS

CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-y1][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-31-3 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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| * * * | * * | * * | * * | * Welcome to STN International * * * * * * * * * |
| NEWS | 1 | | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | MAR | 31 | IFICDB, IFIPAT, and IFIUDB enhanced with new custom |
| | | | | IPC display formats |
| NEWS | 3 | MAR | 31 | CAS REGISTRY enhanced with additional experimental spectra |
| NEWS | 4 | MAR | 31 | CA/CAplus and CASREACT patent number format for U.S. |
| NEWS | 5 | MAR | 2.1 | applications updated LPCI now available as a replacement to LDPCI |
| NEWS | | MAR | | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS | 7 | APR | | STN AnaVist, Version 1, to be discontinued |
| NEWS | 8 | APR | | WPIDS, WPINDEX, and WPIX enhanced with new |
| MEMO | 0 | MEK | 13 | predefined hit display formats |
| NEWS | 9 | APR | 28 | EMBASE Controlled Term thesaurus enhanced |
| NEWS | 10 | APR | | IMSRESEARCH reloaded with enhancements |
| NEWS | 11 | MAY | 30 | INPAFAMDB now available on STN for patent family searching |
| NEWS | 12 | MAY | 30 | DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option |
| NEWS | 1.0 | JUN | 0.0 | sequence search option
EPFULL enhanced with 260,000 English abstracts |
| NEWS | | JUN | | |
| | | | | KOREAPAT updated with 41,000 documents |
| NEWS | 10 | JUN | 13 | USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications |
| NEWS | 16 | JUN | 19 | CAS REGISTRY includes selected substances from |
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| NEWS | 17 | JUN | 25 | CA/CAplus and USPAT databases updated with IPC reclassification data |
| NEWS | 18 | JUN | 30 | AEROSPACE enhanced with more than 1 million U.S. |
| | | | | patent records |
| NEWS | 19 | JUN | 30 | EMBASE, EMBAL, and LEMBASE updated with additional |
| | | | | options to display authors and affiliated |
| NEWS | 20 | JUN | 20 | organizations
STN on the Web enhanced with new STN AnaVist |
| NEWS | 20 | JUIN | 30 | Assistant and BLAST plug-in |
| NEWS | 21 | JUN | 30 | STN AnaVist enhanced with database content from EPFULL |
| NEWS | | JUL | | CA/CAplus patent coverage enhanced |
| NEWS | | JUL | | EPFULL enhanced with additional legal status |
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| NEWS | 24 | JUL | 28 | IFICDB, IFIPAT, and IFIUDB reloaded with enhancements |
| NEWS | 25 | JUL | 28 | STN Viewer performance improved |
| NEWS | 26 | AUG | 01 | INPADOCDB and INPAFAMDB coverage enhanced |
| NEWS | EXP | RESS | JUNE | E 27 08 CURRENT WINDOWS VERSION IS V8.3, |
| | | | | CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. |
| | | | | |

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http://www.cas.org/support/stngen/stndoc/properties.html

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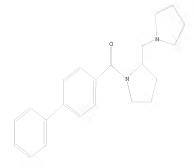
Uploading C:\Program Files\STNEXP\Oueries\10599488 base.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

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Structure attributes must be viewed using STN Express query preparation.

=> s 11 sss sam SAMPLE SEARCH INITIATED 16:20:56 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 92 TO ITERATE

100.0% PROCESSED 92 ITERATIONS 6 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 1265 TO 2415 PROJECTED ANSWERS: 6 TO 266

L2 6 SEA SSS SAM L1

=> d 12

- L2 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2008 ACS on STN
- RN 1028287-04-8 REGISTRY
- ED Entered STN: 15 Jun 2008
- CN Methanone, [2-[(2-ethyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl][3-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)
- MF C25 H28 F4 N2 O
- SR Other Sources

Database: ChemSpider (ChemZoo, Inc.)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=> s 11 sss full FULL SEARCH INITIATED 16:21:23 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -1861 TO ITERATE

100.0% PROCESSED 1861 ITERATIONS SEARCH TIME: 00.00.01

177 ANSWERS

177 SEA SSS FUL L1

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FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 180.82 181.03

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FILE COVERS 1907 - 7 Aug 2008 VOL 149 ISS 6 FILE LAST UPDATED: 6 Aug 2008 (20080806/ED)

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http://www.cas.org/legal/infopolicy.html
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=> s 13 L4

4 L3

=> d 14 1-4 ibib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2007:1237501 CAPLUS

DOCUMENT NUMBER:

147:502372

TITLE:

Preparation of 2-benzyl-1, 2, 4-oxadiazolidinedione

compounds as agonists of G protein-coupled receptor 40 (GPR40) and insulin-secretion enhancers

INVENTOR(S):

Negoro, Kenji; Iwasaki, Fumiyoshi; Ohnuki, Kei; Kurosaki, Toshio; Yonetoku, Yasuhiro; Asai, Norio; Yoshida, Shigeru; Soga, Takatoshi

PATENT ASSIGNEE(S):

Astellas Pharma Inc., Japan PCT Int. Appl., 202pp.

SOURCE: DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

Japanese FAMILY ACC. NUM. COUNT: 1

| LIMITHI | ncc. | raorr. | CO |
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| PATENT | INFO | RMATI | : NC |

| PA: | TENT : | NO. | | | KIND DATE | | | | 1 | APPL | ICAT | | DATE | | | | |
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| WO 2007123225 | | | | A1 | | 2007 | 1101 | 1 | WO 2 | 007- | | 20070423 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BH, | BR, | BW, | BY, | BZ, | CA, |
| | | CH, | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, |
| | | GD, | GE, | GH, | GM, | GT, | HN, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, |
| | | KN, | KP, | KR, | KZ, | LA, | LC, | LK, | LR, | LS, | LT, | LU, | LY, | MA, | MD, | MG, | MK, |
| | | MN, | MW, | MX, | MY, | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, |
| | | RS, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SV, | SY, | TJ, | TM, | TN, | TR, | TT, |
| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | ZA, | ZM, | ZW | | | | | | |
| | RW: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | MT, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, |

GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,

BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: OTHER SOURCE(S):

MARPAT 147:502372

JP 2006-118630 A 20060424

GI

The title compds. [I; R1 = H, halo, R0, halo-lower alkyl, ORz, SR0, AB halo-lower alkoxy; R0 = lower alkyl; Rz = H, lower alkyl; L = *-lower alkylene-O, *-lower alkylene-N(Rz)-, *-CON(Rz)- where * denotes the bonding to the ring A; the ring A = benzene, pyridine, thiophene, piperidine, dihydropyridine, pyrimidine, tetrahydroquinoline; the ring B = benzene, pyridine; R2 = halo, R0, halo-lower alkyl, ORz, SR0, halo-lower alkoxy, aryl-lower alkoxy, oxo; n = 0, 1, 2; R3 = halo, R0, halo-lower alkyl, ORO, SRO, halo-lower alkoxy, X-(un)substituted Ph, X-(un) substituted heteroaryl; X = a single bond, O, S, N(Rz); R4 = H, lower alkyl] or pharmacol. acceptable salts thereof are prepared These compds. show an excellent insulin secretion enhancing effect and an excellent anti-hyperglycemic effect and useful as prophylactic/therapeutic agents for a disease associated with GPR40 such as diabetes. Thus, coupling of 2-[4-[(3-Bromobenzyl)oxy]benzyl]-1,2,4-oxadiazolidine-3,5-dione with 2.6-difluoro-4-methoxyphenylboronic acid in the presence of tetrakistriphenylphosphine palladium, LiCl, and NaHCO3 in a mixture of H2O, ethanol, and 1,2-diethoxyethane at 90° for 25 h followed by workup and silica gel chromatog, gave 2-[4-[(2',6'-difluoro-4'-methoxybiphenyl-3v1) methoxy | benzy1 | -3,5-dioxo-1,2,4-oxadiazolidine which was treated with 1 M aqueous NaOH solution in THF and ethanol to give 2-[4-[(2',6'-difluoro-4'methoxybiphenyl-3-yl)methoxy]benzyl]-3,5-dioxo-1,2,4-oxadiazolidine sodium salt (II). II and 2-(4-([4'-(2-hydroxyethoxy)biphenyl-3yl]methoxy)benzyl)-1,2,4-oxadiazolidine-3,5-dione (III) showed EC50 of 0.35 and 0.031 µM, resp., in a cellular calcium concentration assay using CHO cells expressing human GPR40.

III

IT 955927-98-7P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-benzyl-1,2,4-oxadiazolidinedione compds. as agonists of G protein-coupled receptor 40 (GPR40) and insulin-secretion enhancers for preventing or treating diabetes)

RN 955927-98-7 CAPLUS

1,2,4-Oxadiazolidine-3,5-dione, 2-[[4-[[2'-methyl-4'-[[(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-3-

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

58 L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1123882 CAPLUS

DOCUMENT NUMBER: 143:405796

TITLE: Preparation of aroyl-substituted pyrrolidines as

histamine H3 receptor ligands INVENTOR(S): Beavers, Lisa Selsam; Finley, Don Richard; Finn, Terry

Patrick; Gadski, Robert Alan; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Takakuwa, Takako; Vaught, Grant

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS

Mathews

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 179 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

REFERENCE COUNT:

| PA: | TENT : | NO. | | | KIND DATE | | | | | APPL | ICAT: | ION I | | D. | | | | | |
|----------------|---------|------|-----|-----|-----------|-----|------|----------|-----|------|-----------------|-------|-----|----------|-----|----------|-----|----|--|
| WO | 2005 | 0977 | 40 | | A1 200 | | | 20051020 | | | WO 2005-US10240 | | | | | 20050325 | | | |
| W: AE, AG, AL, | | | | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | | |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KZ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | | |
| | | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | |
| | RW: | BW, | GH, | GM, | KΕ, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | | |
| | | | | | | | | | | | BE, | | | | | | | | |
| | | | | | | | | | | | IT, | | | | | | | | |
| | | | | | | | BF, | ΒJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | | |
| | | | NE, | | | | | | | | | | | | | | | | |
| | | | | | | | | | | | | | | 20050325 | | | | | |
| | 2561 | | | | | | 2005 | | | | 005-2 | | | | | | | | |
| EP | 1735278 | | | | | | | | | | | | | | | | | | |
| | R: | | | | | | | | | | ES, | | | | | HU, | IE, | | |
| | | | | | | | | | | | RO, | | | | | | | | |
| | 1960 | | | | | | | | | | 005-1 | | | | | | | | |
| | 2005 | | | | | | | | | | | | | | | | | | |
| | 2007 | | | | | | | | | | | | | | | | | | |
| MX | 2006 | PA11 | 167 | | A | | 2007 | 0125 | | MX 2 | :006-1 | PA11 | | 20060928 | | | | | |

US 20070208024 A1 20070906 US 2006-599488 20060929 TN 2006KN02868 20070608 IN 2006-KN2868 20061005 Α PRIORITY APPLN. INFO.: US 2004-558542P 20040401 US 2004-617101P 20041008 P 20050325 WO 2005-US10240 147

OTHER SOURCE(S): CASREACT 143:405796; MARPAT 143:405796

BI Title compds. I [Q, T, X, D = C, N provided no more than two are N, Rl-3 = H, halo, alkyl, CN, carboxy, etc.; R4-5 = H, OH, halo, CF2H, etc.; R6 = H, halo, CF3, etc.] are prepared For instance, II is prepared in 3 steps from 4-(trifluoromethyl)phenylboronic acid, Me 4-bromobenzoate and (S)-1-(2-pyrrolidinylmethyl)pyrrolidine. Example compds. exhibit affinity for the H3 receptor greater than 1 µM. I have histamine-H3 receptor antagonist or inverse agonist activity with affinity for the H3 receptor greater than 1 µM. I are useful for the treatment of obesity, cognitive deficiencies, narcolepsy, and other histamine H3

receptor-related diseases. IT 867254-18-0P 867254-20-4P 867254-37-3P

867255-22-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands)

RN 867254-18-0 CAPLUS

[1,1'-Biphenyl]-4-sulfonamide, N-(1,1-dimethylethyl)-4'-[[(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867254-20-4 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-(1,1-dimethylethyl)-N-methyl-4'-[[(2S)-2(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-37-3 CAPLUS

CN Methanone, (4'-nitro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-22-9 CAPLUS

 $\texttt{CN} \qquad \texttt{[1,1'-Bipheny1]-4-sulfinic acid, 3'-fluoro-4'-[[(2S)-2-[[(2R)-2-methyl-1-(2S)-2-methyl-1-(2S)-2-methyl-1-(2S)-2-methyl-1-(2S)-2-(2$

867253-91-6P 867253-92-7P 867253-93-8P 867253-94-9P 867253-96-1P 867253-98-3P 867254-00-0P 867254-02-2P 867254-04-4P 867254-05-5P 867254-06-6P 867254-11-3P 867254-13-5P 867254-14-6P 867254-17-9P 867254-19-1P 867254-21-5P 867254-23-7P 867254-24-8P 867254-25-9P 867254-26-0P 867254-27-1P 867254-28-2P 867254-29-3P 867254-30-6P 867254-34-0P 867254-35-1P 867254-36-2P 867254-38-4P 867254-39-5P 867254-40-8P 867254-41-9P 867254-42-0P 867254-43-1P 867254-44-2P 867254-46-4P 867254-47-5P 867254-48-6P 867254-50-0P 867254-53-3P 867254-59-9P 867254-61-3P 867254-65-7P 867254-67-9P 867254-71-5P 867254-72-6P 867254-78-2P 867254-81-7P 867254-82-8P 867254-83-9P 867254-84-0P 867254-85-1P 867254-86-2P 867254-87-3P 867254-88-4P 867254-89-5P 867254-90-8P 867254-91-9P 867254-92-0P 867254-93-1P 867254-94-2P 867254-95-3P 867254-96-4P 867254-98-6P 867255-03-6P 867255-05-8P 867255-06-9P 867255-07-0P 867255-08-1P 867255-09-2P 867255-10-5P 867255-11-6P 867255-12-7P 867255-13-8P 867255-14-9P 867255-15-0P 867255-20-7P 867255-21-8P 867255-26-3P 867255-33-2P 867255-34-3P 867255-35-4P 867255-36-5P 867255-38-7P 867255-39-8P 867255-40-1P 867255-41-2P 867255-42-3P 867255-43-4P 867255-44-5P 867255-47-8P 867255-49-0P 867255-50-3P 867255-53-6P 867255-54-7P 867255-55-8P 867255-56-9P 867255-57-0P 867255-59-2P 867255-60-5P 867255-61-6P 867255-62-7P 867255-63-8P 867255-64-9P 867255-65-0P 867255-66-1P 867255-70-7P 867255-71-8P 867255-72-9P 867255-73-0P 867255-74-1P 867255-75-2P 867255-76-3P 867255-77-4P 867255-78-5P 867255-79-6P 867255-80-9P 867255-81-0P 867255-82-1P 867255-83-2P

867255-84-3P 867255-86-5P 867255-89-8P

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867255-90-1P 867255-92-3P 867255-94-5P
867255-96-7P 867255-99-0P 867256-00-6P
867256-01-7P 867256-02-8P 867256-03-9P
867256-04-0P 867256-05-1P 867256-06-2P
867256-07-3P 867256-08-4P 867256-09-5P
867256-10-8P 867256-11-9P 867256-12-0P
867256-13-1P 867256-14-2P 867256-15-3P
867256-21-1P 867256-22-2P 867256-23-3P
867256-24-4P 867256-25-5P 867256-27-7P
867256-28-8P 867256-29-9P 867256-31-3P
867256-36-8P 867256-37-9P 867256-38-0P
867256-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of aroyl-substituted pyrrolidines as histamine H3 receptor
   ligands)
867253-91-6 CAPLUS
Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4'-
```

RM

CN

- RN 867253-92-7 CAPLUS
- CN Methanone, [(2S)-2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1][2' (trifluoromethy1)[1,1'-bipheny1]-4-y1]- (CA INDEX NAME)

(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

- RN 867253-93-8 CAPLUS
- CN Methanone, (4'-chloro[1,1'-biphenyl]-4-y1)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 867253-94-9 CAPLUS

CN Methanone, (2'-chloro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867253-96-1 CAPLUS

CN Methanone, [4'-(5-methyl-1,3,4-oxadiazol-2-yl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867253-98-3 CAPLUS

CN Methanone, (3-fluoro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM

CRN 867253-97-2 CMF C22 H25 F N2 O

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 867254-00-0 CAPLUS

CN Methanone, (2',3-difluoro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 867253-99-4 CMF C22 H24 F2 N2 O

```
CM 2
    CRN 76-05-1
    CMF C2 H F3 O2
F C CO2H
  F
RN
    867254-02-2 CAPLUS
CN
    Methanone, (2'-fluoro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-
    pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM
        1
    CRN 867254-01-1
    CMF C22 H25 F N2 O
Absolute stereochemistry.
          2
    CM
    CRN 76-05-1
     CMF C2 H F3 O2
F-C-C02H
  F
    867254-04-4 CAPLUS
RN
    Methanone, (4'-fluoro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-
CN
    pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
    CM 1
    CRN 867254-03-3
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CMF C22 H25 F N2 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 867254-05-5 CAPLUS

CN Methanone, (3'-chloro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-06-6 CAPLUS

CN Methanone, [(28)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867254-11-3 CAPLUS

CN Methanone, [3-chloro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-13-5 CAPLUS

CN Methanone, [4'-(cyclopropylcarbonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-14-6 CAPLUS

 $\texttt{CN} \qquad \texttt{[1,1'-Bipheny1]-4-carbonitrile, 4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-defined and all of the actions of the action o$

pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-17-9 CAPLUS
- CN [1,1'-Biphenyl]-4-sulfonamide, N,N-dimethyl-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-19-1 CAPLUS
 - N [1,1'-Biphenyl]-4-sulfonamide, 4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867254-21-5 CAPLUS

CN [1,1'-Bipheny1]-4-sulfonamide, N-methy1-4'-[[(2S)-2-(1-pyrrolidinylmethy1)1-pyrrolidiny1]carbony1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-23-7 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-methyl-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

HCl

RN 867254-24-8 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N,N-dimethyl-4'-[[(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]-, hydrochloride (1:1) (CA INDEX NAME)

BC1

RN 867254-25-9 CAPLUS

CN Methanone, [4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-26-0 CAPLUS

CN Pyrrolidine, 1-[[4'-(1-pyrrolidinylcarbonyl)[1,1'-biphenyl]-4-yl]carbonyl]2-(1-pyrrolidinylmethyl)-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

● HC1

RN 867254-27-1 CAPLUS

CN Methanone, [3-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-28-2 CAPLUS

CN Methanesulfonamide, N-[4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

- RN 867254-29-3 CAPLUS
- CN Methanesulfonamide, N-[4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

- RN 867254-30-6 CAPLUS
- CN Methanone, [3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-34-0 CAPLUS
- CN Methanone, [(25)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4'-[(trifluoromethyl)sulfonyl][1,1'-biphenyl]-4-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 867254-35-1 CAPLUS

CN Methanesulfonamide, N-[3-fluoro-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-36-2 CAPLUS

CN Methanone, [4'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-38-4 CAPLUS
- CN Methanone, (4'-amino[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-39-5 CAPLUS
- CN Methanone, (4'-methoxy[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-40-8 CAPLUS
- CN Methanone, (4'-bromo[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-41-9 CAPLUS
- CN Methanone, (2'-nitro[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-42-0 CAPLUS
- CN Methanone, (4'-ethyl[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-43-1 CAPLUS

RN 867254-44-2 CAPLUS

CN Methanone, (4'-propyl[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-46-4 CAPLUS

CN Methanone, [4'-[2-(1-piperidinyl)ethoxy][1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-47-5 CAPLUS

CN Methanone, [4'-(1,1-dimethylethyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-48-6 CAPLUS
- CN Methanone, (4'-hexyl[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-50-0 CAPLUS
- CN Pyrrolidine, 2-(1-pyrrolidinylmethyl)-1-([1,1':3',1''-terphenyl]-4ylcarbonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-53-3 CAPLUS
- CN Methanone, [2-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-59-9 CAPLUS
- CN Methanone, [4-(1,3-benzodioxol-5-yl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-61-3 CAPLUS
- CN Methanone, [4-(2,3-dihydro-1,4-benzodioxin-6-yl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867254-65-7 CAPLUS
- CN Methanone, [(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867254-67-9 CAPLUS

CN Methanone, [(2S)-2-[[(2S)-2-methyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-71-5 CAPLUS

CN Methanone, [4'-(methylsulfonyl)-3-(trifluoromethyl)[1,1'-biphenyl]-4yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-72-6 CAPLUS

CN Pyrrolidine, 1-[[4'-(methylsulfonyl)-3-(trifluoromethyl)[1,1'-biphenyl]-4-

 $\label{eq:continuous} $$y1]$ carbony1]-2-(1-pyrrolidiny1methy1)-, (2S)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)$

CM 1

CRN 867254-71-5 CMF C24 H27 F3 N2 O3 S

Absolute stereochemistry.

CM 2

CRN 87-69-4 CMF C4 H6 O6

Absolute stereochemistry.

RN 867254-78-2 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867254-81-7 CAPLUS

CN Methanesulfonamide, N-[3'-fluoro-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-82-8 CAPLUS

CN Methanesulfonamide, N-[3'-fluoro-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinylcarboyl][1,1'-biphenyl]-4-yl]-N-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 867254-83-9 CAPLUS

CN Methanesulfonamide, N-[3'-fluoro-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]-N-methyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-84-0 CAPLUS

CN Methanone, [3-fluoro-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867254-85-1 CAPLUS

CN Methanone, [3-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-86-2 CAPLUS

CN [1,1'-Biphenyl]-3-carbonitrile, 3'-fluoro-4'-[[(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-87-3 CAPLUS

CN Methanone, [3-fluoro-3'-(trifluoromethoxy)[1,1'-bipheny1]-4-y1][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867254-88-4 CAPLUS

CN Methanone, [3-fluoro-4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-89-5 CAPLUS

CN Methanone, (3-fluoro-2',4'-dimethoxy[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-90-8 CAPLUS

CN Methanone, (3-fluoro-4'-methoxy[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867254-91-9 CAPLUS

CN Methanone, (3-fluoro-3',4'-dimethoxy[1,1'-biphenyl]-4-yl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-92-0 CAPLUS

CN Methanone, (3,4'-difluoro[1,1'-biphenyl]-4-yl)[(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867254-93-1 CAPLUS

CN Methanone, [4-(1,3-benzodioxol-5-y1)-2-fluoropheny1][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME) Absolute stereochemistry.

RN 867254-94-2 CAPLUS

CN Methanone, [3-fluoro-3'-(1-pyrrolidinyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-95-3 CAPLUS
- CN Methanone, [3-fluoro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867254-96-4 CAPLUS
- CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867254-98-6 CAPLUS

CN Methanone, [3-fluoro-4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-03-6 CAPLUS

CN Methanone, [2-fluoro-4-(1H-indol-5-yl)phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-05-8 CAPLUS
- $\label{eq:cn_methylsulfonyl} \texttt{CN} \qquad \texttt{Methanone, [3-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-colored]} \\$

Absolute stereochemistry.

- RN 867255-06-9 CAPLUS
- CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867255-07-0 CAPLUS
- CN Methanone, [2-[[(2R,5R)-2,5-dimethyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]-, rel-NAME)

Relative stereochemistry.

RN 867255-08-1 CAPLUS

CN Methanone, [(2S)-2-[[(2R,5S)-2,5-dimethyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-09-2 CAPLUS

CN Methanone, [(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867255-10-5 CAPLUS

CN Methanone, [(2S)-2-[[(2R)-2-ethyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-11-6 CAPLUS

CN Methanone, [(2S)-2-[[(2S)-2-(fluoromethyl)-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-12-7 CAPLUS

CN Methanone, [(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl][4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl)- (CA INDEX NAME)

RN 867255-13-8 CAPLUS

CN Methanone, [4'-(cyclopropylcarbonyl)-3-fluoro[1,1'-biphenyl]-4-yl][(2S)-2(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 867255-14-9 CAPLUS

CN Methanone, [4'-(cyclopropylcarbonyl)-3-fluoro[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-15-0 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-20-7 CAPLUS

CN Methanone, [3-fluoro-4'-(methylthio)[1,1'-biphenyl]-4-yl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN

CN Methanone, [3-fluoro-4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl][(28)-2[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-26-3 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 867255-33-2 CAPLUS

RN 867255-34-3 CAPLUS CN Methanone, [2-(1-pvr

Methanone, [2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1][2'-(trifluoromethy1)[1,1'-bipheny1]-4-y1]- (CA INDEX NAME)

RN 867255-35-4 CAPLUS

CN Methanone, (4'-chloro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-36-5 CAPLUS
- CN Methanone, (2'-chloro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-38-7 CAPLUS
- CN Methanone, [4'-(5-methyl-1,3,4-oxadiazol-2-yl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-39-8 CAPLUS
- CN Methanone, (3-fluoro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-40-1 CAPLUS
- $\label{eq:cn_matrix} \textbf{CN} \qquad \text{Methanone, (2',3-difluoro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1-yllowed]} \\ = \frac{1}{2} \left(\frac{1}{2} \frac{1}{2} + \frac{1}$

pyrrolidinyl]- (CA INDEX NAME)

867255-41-2 CAPLUS CN

Methanone, (2'-fluoro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

867255-42-3 CAPLUS

CN Methanone, (4'-fluoro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-43-4 CAPLUS
- CN Methanone, (3'-chloro[1,1'-bipheny1]-4-y1)[2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

- RN 867255-44-5 CAPLUS
- CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][3' (trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

- RN 867255-47-8 CAPLUS
- CN Methanone, [3-chloro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

867255-49-0 CAPLUS CN

Methanone, [4'-(cyclopropylcarbonyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-50-3 CAPLUS CN

[1,1'-Biphenyl]-4-carbonitrile, 4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-53-6 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N,N-dimethyl-4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-54-7 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-(1,1-dimethylethyl)-4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-55-8 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, 4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-56-9 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-(1,1-dimethylethyl)-N-methyl-4'-[[2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-57-0 CAPLUS

CN [1,1'-Biphenyl]-4-sulfonamide, N-methyl-4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-59-2 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-methyl-4'-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867255-60-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N,N-dimethyl-4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-61-6 CAPLUS

CN Methanone, [4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-62-7 CAPLUS
CN Pyrrolidine, 1-[[4'-(1-pyrrolidinylcarbonyl)[1,1'-biphenyl]-4-yl]carbonyl]2-(1-pyrrolidinylmethyl)- (9CT) (CA INDEX NAME)

RN 867255-63-8 CAPLUS
CN Methanone, [3-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-64-9 CAPLUS

CN Methanesulfonamide, N-[4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867255-65-0 CAPLUS

CN Methanesulfonamide, N-[4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl][1,1'-biphenyl]-3-yl]- (CA INDEX NAME)

RN 867255-66-1 CAPLUS

CN Methanone, [3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-70-7 CAPLUS

CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4'[(trifluoromethyl)sulfonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867255-71-8 CAPLUS

CN Methanesulfonamide, N-[3-fluoro-4'-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867255-72-9 CAPLUS
CN Methanone, [4'-(ethylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-73-0 CAPLUS
CN Methanone, (4'-nitro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

NO2

RN 867255-74-1 CAPLUS
CN Methanone, (4'-amino[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl] (CA INDEX NAME)

RN 867255-75-2 CAPLUS

CN Methanone, (4'-methoxy[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 867255-76-3 CAPLUS

CN Methanone, (4'-bromo[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 867255-77-4 CAPLUS

CN Methanone, (2'-nitro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-78-5 CAPLUS
- CN Methanone, (4'-ethyl[1,1'-biphenyl]-4-y1)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-79-6 CAPLUS

- RN 867255-80-9 CAPLUS
- CN Methanone, (4'-propyl[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 867255-81-0 CAPLUS CN

Methanone, [4'-[2-(1-piperidinyl)ethoxy][1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

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RN 867255-82-1 CAPLUS

CN Methanone, [4'-(1,1-dimethylethyl)[1,1'-biphenyl]-4-yl][2-(1-

RN 867255-83-2 CAPLUS
CN Methanone, (4'-hexyl[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Me- (CH2)5

RN 867255-84-3 CAPLUS
CN Pyrrolidine, 2-(1-pyrrolidinylmethyl)-1-([1,1':3',1''-terphenyl]-4ylcarbonyl)- (9CI) (CA INDEX NAME)

RN 867255-86-5 CAPLUS CN Methanone, [2-fluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867255-89-8 CAPLUS CN
- Methanone, [4-(1,3-benzodioxol-5-yl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 867255-90-1 CAPLUS

CN Methanone, [4-(2,3-dihydro-1,4-benzodioxin-6-y1)pheny1][2-(1-pyrrolidinylmethy1)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-92-3 CAPLUS

RN 867255-94-5 CAPLUS

CN Methanone, [4'-(methylsulfonyl)-3-(trifluoromethyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-96-7 CAPLUS
CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867255-99-0 CAPLUS
CN Methanesulfonamide, N-[3'-fluoro-4'-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl][1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867256-00-6 CAPLUS
CN Methanesulfonamide, N-[3'-fluoro-4'-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 867256-01-7 CAPLUS
CN Methanone, [3-fluoro-3'-(trifluoromethyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-02-8 CAPLUS
CN Methanone, [3-fluoro-4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-03-9 CAPLUS
CN [1,1'-Biphenyl]-3-carbonitrile, 3'-fluoro-4'-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]- (CA INDEX NAME)

- RN 867256-04-0 CAPLUS
- CN Methanone, [3-fluoro-3'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-05-1 CAPLUS
- CN Methanone, [3-fluoro-4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-06-2 CAPLUS
- CN Methanone, (3-fluoro-2',4'-dimethoxy[1,1'-biphenyl]-4-yl)[2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-07-3 CAPLUS
CN Methanone, (3-fluoro-4'-methoxy[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

OMe

RN 867256-08-4 CAPLUS
CN Methanone, (3-fluoro-3',4'-dimethoxy[1,1'-biphenyl]-4-y1)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-09-5 CAPLUS

CN Methanone, (3,4'-difluoro[1,1'-biphenyl]-4-yl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-10-8 CAPLUS

CN Methanone, [4-(1,3-benzodioxol-5-yl)-2-fluorophenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-11-9 CAPLUS

 $\texttt{CN} \qquad \texttt{Methanone, [4-(2,3-dihydro-1,4-benzodioxin-6-y1)-2-fluoropheny1][2-(1-x)]} \\$

RN 867256-12-0 CAPLUS
CN Methanone, [3-fluoro-3'-(1-pyrrolidinyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-13-1 CAPLUS
- CN Methanone, [3-fluoro-3'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-14-2 CAPLUS
- CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-15-3 CAPLUS

CN Methanone, [3-fluoro-4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-21-1 CAPLUS CN Methanone, [3-fluore

 $\begin{tabular}{ll} Methanone, & [3-fluoro-4'-(methylsulfonyl)] & [1,1'-biphenyl]-4-yl] & [2-[(2-methyl-1-pyrrolidinyl)-(CA INDEX NAME)] & [2-[(2-methyl-pyrrolidinyl)-(CA INDEX NAME)] & [2-[(2-methyl-pyrrolidinyl)-(CA INDEX NAME)]$

RN 867256-22-2 CAPLUS

CN Methanone, [4'-(ethylsulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-23-3 CAPLUS

RN 867256-24-4 CAPLUS

CN Methanone, [2-[(2-ethyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867256-25-5 CAPLUS

CN Methanone, [2-[[2-(fluoromethyl)-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867256-27-7 CAPLUS CN Methanone, [2-[(2-met]

Methanone, [2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl][4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (CA INDEX NAME)

RN 867256-28-8 CAPLUS CN Methanone, [4'-(cyc

N Methanone, [4'-(cyclopropylcarbonyl)-3-fluoro[1,1'-biphenyl]-4-yl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-29-9 CAPLUS

CN Methanone, [4'-(cyclopropylcarbonyl)-3-fluoro[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-31-3 CAPLUS

CN Methanone, [3,5-difluoro-4'-(methylsulfonyl)[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

867256-36-8 CAPLUS CN

Methanone, [3-fluoro-4'-(methylthio)[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-37-9 CAPLUS

CN Methanone, [3-fluoro-4'-(methylsulfinyl)[1,1'-biphenyl]-4-yl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 867256-38-0 CAPLUS
CN [1,1'-Biphenyl]-4-sulfinic acid, 3'-fluoro-4'-[[2-[(2-methyl-1pyrrolidinyl]methyl]-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 867256-42-6 CAPLUS CN Methanone, [3,5-dif.

 $\label{eq:methanone} \begin{tabular}{ll} Methanone, & [3,5-difluoro-4'-(methylsulfinyl)] & [1,1'-biphenyl]-4-yl] & [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- & (CA INDEX NAME) \\ \end{tabular}$

867256-59-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands)

RN 867256-59-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, N-methyl-4'-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

2004:41436 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:93917

TITLE: Preparation of pyrrolidine derivatives as oxytocin

antagonists

INVENTOR(S): Jorand-Lebrun, Catherine; Dorbais, Jerome;

Quattropani, Anna; Schwarz, Matthias; Valognes, Delphine

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.

Antilles

SOURCE:

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PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | | APPLICATION NO. | | | | | | | | | | | |
|------------------------|----------------|------|-----|-----|-------------|-----------------|------|-----------------|----------------------------------|------|--------|------|----------|----------|-----|------|-----|
| | | | | | | | | WO 2003-EP50286 | | | | | | | | | |
| | W: | | | | | | | | | | 3, BG, | | | | | | |
| | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | . E0 | , EE, | ES, | FI, | GB, | GD, | GE, | GH, |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | , KE | E, KG, | KP, | KR, | KZ, | LC, | LK, | LR, |
| | | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | , M | I, MW, | MX, | MZ, | NI, | NO, | ΝZ, | OM, |
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| | | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | , Z2 | A, ZM, | ZW | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | MZ, | SD, | SL, | , S2 | Z, TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM, | AT, | BE, | , B0 | G, CH, | CY, | CZ, | DE, | DK, | EE, | ES, |
| | | FI, | FR, | GB, | GR, | HU, | IE, | IT, | LU, | , MO | , NL, | PT, | RO, | SE, | SI, | SK, | TR, |
| | | | | | | | | | | | 2, GW, | | | | | | |
| CA | 2487 | 532 | | | A1 20040115 | | | | CA 2003-2487532 | | | | | 20030704 | | | |
| AU | 2003 | 2544 | 98 | | A1 20040123 | | | AU 2003-254498 | | | | | | | | | |
| BR | 2003 | 0125 | 86 | | A 20050412 | | | BR 2003-12586 | | | | | 20030704 | | | | |
| EP | | | | | | | | EP 2003-762692 | | | | | | | | | |
| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | , GE | R, IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | | | | | | | | | | , TR, | | | | | | |
| CN | 1678 | 576 | | | A 20051005 | | | | CN 2003-820401
JP 2004-518783 | | | | | 20030704 | | | |
| | | | | | | | | | | | | | | | | | |
| MX | 2005 | PA00 | 326 | | A 20050331 | | | | MX 2005-PA326 | | | | 20050105 | | | | |
| | | | | | | | | NO 2005-612 | | | | | | | | | |
| US | US 20060004020 | | | | | | | | US 2005-518543 | | | | 43 | 20050711 | | | 711 |
| US | US 7115754 | | | | | | 2006 | | | | | | | | | | |
| | HK 1076107 | | | | | | | | | HK | 2005- | 1102 | 74 | | 2 | 0051 | 117 |
| PRIORITY APPLN. INFO.: | | | | | | | | | | | 2002- | | | | | | |
| | | | | | | | | | | WO | 2003- | EP50 | 286 | | W 2 | 0030 | 704 |
| OTHER SOURCE(S): | | | | | MAR | PAT | 140: | 9391 | 7 | | | | | | | | |

AB The title compds. I [R1 = H or alkyl; R2 = H, alkyl, (substituted)aryl, (substituted)heteroaryl, etc.; R3 = aryl or heteroaryl; X = 0 or (substituted)amino; n = 1-3) were prepared as oxytocin antagonists for the prevention and/or treatment of preterm labor, premature birth or dysmenorrhea. Thus, reaction of 1-tert-butyl-2-Me (2S)-4-(methoxyimino)-1,2-pyrrolidine-dicarboxylate (preparation given) with

2'-methyl[1,1'-biphenyl]4-carboxylic acid followed by hydrolysis and reduction gave compound II. The
latter inhibits oxytocin mediated Ca2+-mobilization with IC50 = 0.03

μM. Pharmaceutical compns. containing I are described.

T 643001-63-2P R: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrrolidine derivs. as oxytocin antagonists)

RN 643001-63-2 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[(2S)-1-([1,1'-biphenyl]-4-ylcarbonyl)-4-(methoxyimino)-2-pyrrolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN 2003:784071 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER: 140:12454

TITLE: Design and synthesis of pyrrolidine-5,5'-trans-lactams (5-oxo-hexahydropyrrolo[3,2-b]pyrroles) as novel mechanism-based inhibitors of human cytomegalovirus

protease. 4. Antiviral activity and plasma stability Borthwick, Alan D.; Davies, Dave E.; Ertl, Peter F.; AUTHOR(S): Exall, Anne M.; Haley, Terry M.; Hart, Graham J.; Jackson, Deborah L.; Parry, Nigel R.; Patikis, Angela;

Trivedi, Naimisha; Weingarten, Gordon G.; Woolven, James M.

CORPORATE SOURCE: Department of Medicinal Chemistry CVU UK, Medicines

Research Centre, GlaxoSmithKline Research and

Development, Herts, SG1 2NY, UK

SOURCE: Journal of Medicinal Chemistry (2003), 46(21),

4428-4449 CODEN: JMCMAR: ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:12454

A series of chiral, (S)-proline-a-methylpyrrolidine-5,5-trans-lactam serine protease inhibitors has been developed as antivirals of human cytomegalovirus (HCMV). The SAR of the functionality on the proline nitrogen has shown that derivs. of para-substituted Ph ureas > para-substituted Ph sulfonamides > para-substituted Ph carboxamide for activity against HCMV δ Ala protease, producing para-substituted Ph ureas with single figure nM potency (Ki) against the viral enzyme. SAR of the functionality on the lactam nitrogen has defined the steric and electronic requirements for high human plasma stability while retaining good activity against HCMV protease. The combination of high potency against HCMV SAla protease and high human plasma stability has produced compds. with significant in vitro antiviral activity against human cytomegalovirus with the 6-hydroxymethyl benzothiazole derivative 72 being equivalent in potency to ganciclovir. The parent benzothiazole 56 had good pharmacokinetics in dogs with 29% bioavailability and good brain and ocular penetration in guinea pigs. 628725-63-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and antiviral structure activity relationships of pyrrolidine-lactams as inhibitors of human cytomegalovirus protease) RN 628725-63-3 CAPLUS

CN Pyrrolo[3,2-b]pyrrol-2(1H)-one, 4-[[(2S)-1-([1,1'-biphenyl]-4-ylcarbonyl)2-pyrrolldinyl]carbonyl]-1-(cyclopropylcarbonyl)hexahydro-3-methyl-,
(3S,3aR,6aS)- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => file stng
COST IN U.S. DOLLARS | SINCE FILE
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SESSION |
|--|---------------------|------------------|
| FULL ESTIMATED COST | 24.68 | 205.71 |
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ENTRY | TOTAL
SESSION |
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ENTRY | TOTAL
SESSION |
|--|---------------------|------------------|
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ENTRY | TOTAL |
| CA SUBSCRIBER PRICE | 0.00 | -3.20 |

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| NEWS | 12 | MAY | 30 | DGENE, PCTGEN, and USGENE enhanced with new homology |
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| NEWS | 15 | JUN | 13 | USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications |
| NEWS | 16 | JUN | 19 | CAS REGISTRY includes selected substances from |
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| NEWS | 21 | JUN | 20 | Assistant and BLAST plug-in
STN AnaVist enhanced with database content from EPFULL |
| NEWS | | JUL | | CA/CAplus patent coverage enhanced |
| NEWS | | JUL | | EPFULL enhanced with additional legal status |
| MEMO | 23 | 001 | 20 | information from the epoline Register |
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| NEWS | 25 | JUL | 28 | STN Viewer performance improved |
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| NEWS | DVD | 2000 | TIDI | P 37 00 CURRENT WINDOWS WERGION IS NO 3 |
| NEWS | EXP | KESS | | E 27 08 CURRENT WINDOWS VERSION IS V8.3,
CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. |
| | | | MAD | CORREST DISCOVER FIBE 13 DAIED 23 COME 2000. |

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DICTIONARY FILE UPDATES: 6 AUG 2008 HIGHEST RN 1039104-40-9

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L1 STRUCTURE UPLOADED

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L2 STRUCTURE UPLOADED

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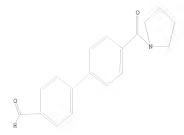
L3 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

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Structure attributes must be viewed using STN Express query preparation.



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 16:49:58 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 862 TO ITERATE

100.0% PROCESSED 862 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 15479 TO 19001 PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L1

=> s 11 sss full

FULL SEARCH INITIATED 16:50:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17917 TO ITERATE

100.0% PROCESSED 17917 ITERATIONS SEARCH TIME: 00.00.01 0 ANSWERS

L5 0 SEA SSS FUL L1

=> s 12 sss full

FULL SEARCH INITIATED 16:50:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 204 TO ITERATE

100.0% PROCESSED 204 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

L6 1 SEA SSS FUL L2

=> s 13 sss full

FULL SEARCH INITIATED 16:50:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17917 TO ITERATE

100.0% PROCESSED 17917 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.05

=> d 16

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 653588-61-5 REGISTRY

ED Entered STN: 24 Feb 2004

CN Acetic acid, 2-[[4'-(1-piperidinylcarbonyl)[1,1'-biphenyl]-4-yl]sulfonyl](CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Acetic acid, [[4'-(1-piperidinylcarbonyl)[1,1'-biphenyl]-4-yl]sulfonyl](9CI)

MF C20 H21 N O5 S

SR CA

LC STN Files: CA, CAPLUS

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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SINCE FILE TOTAL ENTRY SESSION 537.54 537.75

FULL ESTIMATED COST

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=> s 16 L8

1 L6

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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:958402 CAPLUS

DOCUMENT NUMBER: 140:138737

TITLE: Discovery of Small-Molecule Inhibitors of the ATPase

Activity of Human Papillomavirus El Helicase AUTHOR(S): Faucher, Anne-Marie; White, Peter W.; Brochu, Christian; Grand-Maitre, Chantal; Rancourt, Jean;

Fazal, Gulrez

Research and Development, Boehringer Ingelheim CORPORATE SOURCE:

(Canada) Ltd., Laval, QC, H7S 2G5, Can.

SOURCE: Journal of Medicinal Chemistry (2004), 47(1), 18-21

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society

PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

The Boehringer Ingelheim compound collection was screened for inhibitors of the ATPase activity of human papillomavirus E1 helicase to develop antiviral agents that inhibit human papillomavirus (HPV) DNA replication.

This screen led to the discovery of (biphenyl-4-sulfonyl)acetic acid 1, which inhibits the ATPase activity of HPV type 6 E1 helicase with a low micromolar IC50 value. A hit-to-lead exercise rapidly converted 1 into a low nanomolar lead series.

653588-61-5

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(discovery of small-mol. inhibitors of ATPase activity of human Papillomavirus E1 helicase)

RN 653588-61-5 CAPLUS

CN Acetic acid, 2-[[4'-(1-piperidinylcarbonyl)[1,1'-biphenyl]-4-yl]sulfonyl]-(CA INDEX NAME)

REFERENCE COUNT:

16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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| | | | | sequence search option |
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

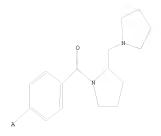
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L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

I.1 STI



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59.5% PROCESSED 2000 ITERATIONS

18 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 63802 TO 70758 PROJECTED ANSWERS: 275 TO 935

L2 18 SEA SSS SAM L1

=> d scan

L2 18 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Methanone, [4-[4-(methylthio)butoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-

1-pyrrolidiny1]-MF C21 H32 N2 O2 S

CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L2 18 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN Methanone, [4-[(4-fluorophenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-
- MF C23 H27 F N2 O2

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

- L2 18 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
- IN Methanone, [5-chloro-2, 4-bis (methoxymethoxy) phenyl] [(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-
- MF C20 H29 C1 N2 O5

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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FULL SCREEN SEARCH COMPLETED - 66839 TO ITERATE

100.0% PROCESSED 66839 ITERATIONS 574 ANSWERS SEARCH TIME: 00.00.01

L3 574 SEA SSS FUL L1

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 ENTRY
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 178,82
 179.03

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FILE COVERS 1907 - 7 Aug 2008 VOL 149 ISS 6 FILE LAST UPDATED: 6 Aug 2008 (20080806/ED)

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=> s 13 T.4 45 L3

=> s 14 and obesity

51744 OBESITY 85 OBESITIES

51747 OBESITY

(OBESITY OR OBESITIES)

L5 13 L4 AND OBESITY

=> s 15 and histamine

62152 HISTAMINE 271 HISTAMINES

62215 HISTAMINE (HISTAMINE OR HISTAMINES)

1.6 8 L5 AND HISTAMINE

=> d 16 1-6 ibib abs hitstr

ANSWER 1 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:31382 CAPLUS

DOCUMENT NUMBER: 146:142501

TITLE: Preparation of pyrrolidine derivatives as histamine H3 antagonists or inverse agonists

Beavers, Lisa Selsam; Finley, Don Richard; Gadski, INVENTOR(S): Robert Alan; Hipskind, Philip Arthur; Hornback,

William Joseph; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Takakuwa, Takako; Vaught, Grant Mathews

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 65pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | KIND DATE | | | | APPLICATION NO. | | | | | | | | | | | |
|------------|-----------------|-----------|------|-------------|-----------------|-----------------|----------------|-----------------|------|------------|-----|----------|----------|-----|-----|-----|--|
| | WO 2007005503 | | | | | | | WO 2006-US25328 | | | | | | | | | |
| W | | | | | | | | | | BG, | | | | | | | |
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| | SC, | SD, | SE, | SG, | SK, | SL, | SM, | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | |
| | US, | UZ, | VC, | VN, | ZA, | ZM, | zw | | | | | | | | | | |
| R | W: AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
| | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | |
| | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG, | BW, | GH, | |
| | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, | |
| | | KZ, | | | | | | | | | | | | | | | |
| AU 20 | 062659 | 97 | | A1 | 2007 | 0111 | AU 2006-265997 | | | | | 20060628 | | | | | |
| CA 26 | 13192 | | | A1 | | 2007 | 0111 | CA 2006-2613192 | | | | | 20060628 | | | | |
| EP 19 | 04442 | | | A1 20080402 | | | | EP 2006-774260 | | | | | 20060628 | | | | |
| R | : AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | IE, | |
| | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | | |
| MX 20 | A | | 2008 | 0311 | MX 2007-16216 | | | | | 20071217 | | | | | | | |
| IN 20 | A | | 2008 | 0328 | IN 2007-KN4926 | | | | | 20071219 | | | | | | | |
| PRIORITY A | | | | | US 2005-696257P | | | | | P 20050701 | | | | | | | |
| | | | | | | | WO 2 | 2006- | JS25 | 328 | 1 | W 2 | 0060 | 628 | | | |
| OTHER SOUR | MARPAT 146:1425 | | | | 01 | | | | | | | | | | | | |

- AB The title compds. I [Rl = -N-pyrrolidiny] (wherein the pyrrolidine is optionally substituted with R4), -N-morpholinyl, etc.; R4 = Me, CF3, CN, etc.; R6 = H, (un)substituted alkyl; R7 = H, (un)substituted alkyl] are prepared I are useful for the treatment of histamine H3 receptor-related diseases. Thus, [4-(2-pyrrolidin-1-ylethylamino)phenyl]-(2-(S)-pyrrolidin-1-ylmethylpyrrolidin-1-yl)methanone was prepared in 2 steps from (S)-(+)-1-(2-pyrrolidinylmethyl)pyrrolidine and 4-fluorobenzoyl chloride. In an assay for inhibition of [355]GTPy[S] binding to H3R membranes in the presence of agonists, one compound of this invention showed the Ki value of 11.7 nM.
- II 919113-29-4P 919113-52-3P 919113-53-4P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrrolidine derivs. as histamine H3 antagonists or inverse agonists)
- RN 919113-29-4 CAPLUS
- CN Methanone, [4-[2-(cyclopentylamino)ethyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Ι

Absolute stereochemistry.

- RN 919113-52-3 CAPLUS
- CN Benzenemethanesulfonamide, N-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 919113-53-4 CAPLUS

CN Benzenesulfonamide, 4-(methylsulfonyl)-N-[4-[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

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919113-13-6P 919113-15-8P 919113-17-0P
919113-19-2P 919113-23-8P 919113-25-0P
919113-27-2P 919113-31-8P 919113-33-0P
919113-35-2P 919113-37-4P 919113-39-6P
919113-41-0P 919113-43-2P 919113-45-4P
919113-47-6P 919113-49-8P 919113-50-1P
919113-51-2P 919113-54-5P 919113-55-6P
919113-56-7P 919113-57-8P 919113-58-9P
919113-59-0P 919113-60-3P 919113-61-4P
919113-62-5P 919113-63-6P 919113-64-7P
919113-66-9P 919113-68-1P 919113-70-5P
919113-71-6P 919113-72-7P 919113-73-8P
919113-74-9P 919113-75-0P 919113-76-1P
919113-77-2P 919113-78-3P 919113-79-4P
919113-80-7P 919113-81-8P 919113-82-9P
919113-83-0P 919113-84-1P 919113-85-2P
919113-86-3P 919113-87-4P 919113-88-5P
919113-89-6P 919113-90-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidine derivs. as histamine ${\rm H3}$ antagonists or inverse agonists)

RN 919113-13-6 CAPLUS CN Methanone, [4-1]2-(

Methanone, [4-[[2-(1-pyrrolidiny1)ethy1]amino]pheny1][(2S)-2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-15-8 CAPLUS

CN Methanone, [4-[[3-(1-piperidinyl)propyl]amino]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-17-0 CAPLUS

CN Methanone, [4-(butylamino)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-19-2 CAPLUS

CN Methanone, [4-(diethylamino)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 919113-23-8 CAPLUS

CN Urea, N-butyl-N'-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenyl]-, pentamer (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-25-0 CAPLUS

CN Benzenesulfonamide, N,N-dipropyl-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-27-2 CAPLUS

CN Methanone, [4-(dimethylamino)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-31-8 CAPLUS
- CN Methanone, [4-[2-(cyclopentylamino)ethyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

- ●2 HCl
- RN 919113-33-0 CAPLUS
- CN Methanone, [4-[2-[(phenylmethyl)amino]ethyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, pentamer (CA INDEX NAME)

Absolute stereochemistry.

- RN 919113-35-2 CAPLUS
- CN Methanone, [4-[2-(1-piperidiny1)ethy1]pheny1][(2\$)-2-(1pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

RN 919113-37-4 CAPLUS

CN Methanone, [4-[2-(1-pyrrolidiny1)ethy1]pheny1][(2S)-2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-39-6 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[3-(1pyrrolidinyl)propyl]phenyl]-, pentamer (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-41-0 CAPLUS

CN Methanone, [4-[2-(4-methyl-1-piperazinyl)ethyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 919113-43-2 CAPLUS

CN Methanone, [4-[2-(diethylamino)ethyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-45-4 CAPLUS

CN Benzamide, N-[3-(1-piperidinyl)propyl]-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]-, pentamer (CA INDEX NAME)

Absolute stereochemistry.

RN 919113-47-6 CAPLUS

CN Methanone, [4-(1-piperidinylsulfonyl)phenyl][(2\$)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, pentamer (CA INDEX NAME)

- RN 919113-49-8 CAPLUS
- CN Methanone, [4-(4-morpholinylsulfonyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-50-1 CAPLUS
- CN Methanone, [4-[[3-(methylsulfonyl)-1-pyrrolidinyl]sulfonyl]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 919113-51-2 CAPLUS
- CN Benzenemethanesulfonamide, N-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 919113-54-5 CAPLUS

CN Benzenesulfonamide, N-methyl-4-(methylsulfonyl)-N-[4-[([2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 919113-55-6 CAPLUS

CN Methanone, [4-[[3-(4-pyridinyl)propyl]thio]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 919113-56-7 CAPLUS

CN Methanone, [4-[[3-(3-pyridinyl)propyl]thio]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

RN 919113-57-8 CAPLUS

CN Methanone, [4-(4-pyridinylthio)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 919113-58-9 CAPLUS

CN Benzamide, N-[2-(3-pyridinyl)ethyl]-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 2-A

● 2 HC1

RN 919113-59-0 CAPLUS

CN Methanone, [4-(4-pyrimidinylthio)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 919113-60-3 CAPLUS
- CN Methanone, [4-(3-pyridinylthio)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

● 2 HC1

- RN 919113-61-4 CAPLUS
- CN Methanone, [4-[[2-(1-pyrrolidinyl)ethyl]amino]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-62-5 CAPLUS
- CN Methanone, [4-[[3-(1-piperidiny1)propy1]amino]pheny1][2-(1pyrrolidinylmethy1)-1-pyrrolidiny1]- (CA INDEX NAME)

- RN 919113-63-6 CAPLUS

NHBu-n

CN Methanone, [4-(diethylamino)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-66-9 CAPLUS
- CN Urea, N-butyl-N'-[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 919113-68-1 CAPLUS
CN Benzenesulfonamide, N,N-dipropyl-4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]- (CA INDEX NAME)

- RN 919113-70-5 CAPLUS
- CN Methanone, [4-(dimethylamino)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-71-6 CAPLUS
- CN Methanone, [4-[2-(cyclopentylamino)ethyl]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-72-7 CAPLUS
- CN Methanone, [4-[2-[(phenylmethyl)amino]ethyl]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-73-8 CAPLUS
- CN Methanone, [4-[2-(1-piperidinyl)ethyl]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-74-9 CAPLUS
- CN Methanone, [4-[2-(1-pyrrolidiny1)ethy1]pheny1][2-(1-pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

RN 919113-75-0 CAPLUS

CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[3-(1-pyrrolidinyl)propyl]phenyl]- (CA INDEX NAME)

RN 919113-76-1 CAPLUS

CN Methanone, [4=[2-(4-methyl-1-piperazinyl)ethyl]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN

CN Methanone, [4-[2-(diethylamino)ethyl]phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-78-3 CAPLUS
- CN Benzamide, N-[3-(1-piperidinyl)propyl]-4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]- (CA INDEX NAME)

- RN 919113-79-4 CAPLUS
- CN Methanone, [4-(1-piperidinylsulfonyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-80-7 CAPLUS
- CN Methanone, [4-(4-morpholinylsulfonyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 919113-81-8 CAPLUS
- CN Methanone, [4-[[3-(methylsulfonyl)-1-pyrrolidinyl]sulfonyl]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 919113-82-9 CAPLUS

CN Benzenemethanesulfonamide, N-[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 919113-83-0 CAPLUS

CN Benzenesulfonamide, 4-(methylsulfonyl)-N-[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 919113-84-1 CAPLUS

CN Benzenesulfonamide, N-methyl-4-(methylsulfonyl)-N-[4-[[2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]- (CA INDEX NAME)

RN 919113-85-2 CAPLUS
CN Methanone, [4-[[3-(4-pyridiny1)propy1]thio]pheny1][2-(1pyrrolidiny1methy1)-1-pyrrolidiny1]- (CA INDEX NAME)

919113-86-3 CAPLUS

RN

CN Methanone, [4-[(3-(3-pyridinyl)propyl]thio]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 919113-87-4 CAPLUS CN

Methanone, [4-(4-pyridinylthio)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 919113-88-5 CAPLUS

Benzamide, N-[2-(3-pyridinyl)ethyl]-4-[[2-(1-pyrrolidinylmethyl)-1-CN pyrrolidinyl]carbonyl]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 919113-89-6 CAPLUS CN Methanone, [4-(4-pyrimidinylthio)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl] (CA INDEX NAME)

CN Methanone, [4-(3-pyridinylthio)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- inverse agonists) RN 867256-58-4 CAPLUS

Absolute stereochemistry.

- RN 911697-02-4 CAPLUS
- CN Methanone, [4-(2-chloroethyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911697-03-5 CAPLUS

CN Methanone, (4-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:1065918 CAPLUS

DOCUMENT NUMBER: 145:418937

TITLE: Preparation of phenyl pyrrolidinylmethylpyrrolidinyl

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

methanones as histamine H3 receptor agents.

INVENTOR(S): Hipskind, Philip Arthur; Takakuwa, Takako; Jesudason, Cynthia Darshini; Gadski, Robert Alan; Hornback,

William Joseph; Pickard, Richard Todd; Beavers, Lisa Selsam

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 66pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PAT | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | | | | |
|---|---------------|-----|-----|-----------------|-----|------------------|-----|----------------|-----------------|------|-----|-----|-----|-----|-----|-----|-----|
| WO | WO 2006107661 | | | | | A1 20061012 | | | WO 2006-US11320 | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | co, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, |
| | | KZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, |
| | | MZ, | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, |
| | | SG, | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, |
| | | VN, | YU, | ZA, | ZM, | zw | | | | | | | | | | | |
| | RW: | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, |
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| | | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, |
| | | | | | RU, | | | | | | | | | | | | |
| | | | | | | | | | AU 2006-232871 | | | | | | | | |
| | | | | | | | | | CA 2006-2602234 | | | | | | | | |
| EP | | | | | | | | EP 2006-758217 | | | | | | | | | |
| | R: | ΑT, | | | | | | | | | | | | | | | IE, |
| | | | | | | | | | | | PT, | | | | | | |
| IN 2007KN03101 | | | | | | | | | | | | | | | | | |
| MX 200712110 | | | | | | | | | | | | | | | | | |
| | | | | | | CN 2006-80010841 | | | | | | | | | | | |
| RIORITY APPLN. INFO.: US 2005-667582P P 2005040 | | | | | | | | | | | | | 401 | | | | |

Ι

867256-58-4P 867256-60-8P 867256-66-4P

AB Title compds. [I; X, Y = C, N; ≥1 of X, Y = C; Rl = halo, cyano, NO2, (halo)alkyl, cycloalkyl, alkylsulfonylalkyl, cycloalkylcarbonyl, cycloalkylthio, alkenyl, alkynyl, (substituted) phenylcarbonylalkyl, etc.; R4, R5 = H, halo, (halo)alkyl, OR3; R6 = H, halo, CF3, (halo)alkyl, OR3; R3 = H, halo, CF3, (halo)alkyl, OR3; R3 = H, (halo)alkyl, were prepared Thus, (4-benzenesulfonylphenyl)[2-(5)-pyrrolidin-1-ylmethylpyrrolidin-1-yllmethanone (preparation outlined) showed Ki = 12 nM in a [355] GTP y [5] binding assay.

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867256-68-6P 867256-69-7P 867256-75-5P
867256-76-6P 867256-81-3P 877675-35-9P
911696-48-5P 911696-50-9P 911696-52-1P
911696-54-3P 911696-56-5P 911696-58-7P
911696-60-1P 911696-62-3P 911696-63-4P
911696-64-5P 911696-65-6P 911696-66-7P
911696-68-9P 911696-69-0P 911696-70-3P
911696-71-4P 911696-72-5P 911696-73-6P
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911696-80-5P 911696-81-6P 911696-83-8P
911696-85-0P 911696-86-1P 911696-87-2P
911696-88-3P 911696-89-4P 911696-90-7P
911696-91-8P 911696-92-9P 911696-93-0P
911696-94-1P 911696-95-2P 911696-96-3P
911696-97-4P 911696-98-5P 911697-00-2P
911697-01-3P 911697-02-4P 911697-03-5P
911697-04-6P 911697-05-7P 911697-06-8P
911697-07-9P 911697-08-0P 911697-10-4P
911697-12-6P 911842-17-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
```

(claimed compound; preparation of Ph pyrrolidinylmethylpyrrolidinylmethanones

as histamine H3 receptor agents)

RN 867256-58-4 CAPLUS

N Methanone, (4-bromophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

- RN 867256-60-8 CAPLUS
- CN Methanone, (4-bromo-2-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-66-4 CAPLUS
- CN Methanone, (4-bromo-3-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867256-68-6 CAPLUS
- CN Methanone, [4-bromo-2-(trifluoromethyl)phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-69-7 CAPLUS
- CN Methanone, (4-bromo-2,6-difluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-75-5 CAPLUS
- CN Methanone, (4-bromo-2,6-difluorophenyl)[(2S)-2-[[(2R)-2-methyl-1pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 867256-76-6 CAPLUS
- CN Methanone, (4-bromo-2-fluorophenyl)[(2S)-2-[[(2R)-2-methyl-1pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 867256-81-3 CAPLUS
- CN Methanone, (4-bromophenyl)[(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl]- (CA INDEX NAME)

- RN 877675-35-9 CAPLUS
- CN Methanone, (4-bromophenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 911696-48-5 CAPLUS
- CN Methanone, (4-pentylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Me- (CH2) 4

RN 911696-50-9 CAPLUS

RN 911696-52-1 CAPLUS

CN Methanone, [4-[(4-methylcyclohexyl)thio]phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-54-3 CAPLUS

CN Methanone, [4-(methylsulfonyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-56-5 CAPLUS CN Methanone, (4-methylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-58-7 CAPLUS CN Methanone, (4-ethylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-60-1 CAPLUS CN Methanone, (4-propylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-62-3 CAPLUS

CN Methanone, (4-butylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-63-4 CAPLUS

CN Methanone, [4-(phenylmethyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-64-5 CAPLUS

CN Methanone, (3,4-dimethylphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 911696-65-6 CAPLUS

CN Methanone, [4-(1,1-dimethylethyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-66-7 CAPLUS

CN Pyrrolidine, 1-(4-benzoylbenzoyl)-2-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

RN

911696-68-9 CAPLUS Pyrrolidine, 1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-(1-pyrrolidinylmethy1)-1-[4-(3-oxo-3-phenylpropy1)benzoy1]-2-[4-(3-oxo-3-phenylpropy1)benzoy1CN (9CI) (CA INDEX NAME)

Ph-C-CH2-CH2

RN 911696-69-0 CAPLUS

CN Methanone, [4-(5-phenylpentyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Ph- (CH₂)₅

RN 911696-70-3 CAPLUS

CN Methanone, [4-(2-chloroethyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

$${\tt C1CH_2-CH_2}$$

RN 911696-71-4 CAPLUS

CN Methanone, (4-bromo-2-fluorophenyl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-72-5 CAPLUS

CN Methanone, (4-fluorophenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-73-6 CAPLUS

CN Methanone, (4-bromo-2-fluorophenyl)[2-[(2-methyl-1-pyrrolidinyl)methyl]-1pyrrolidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Br} \\ \\ \text{C} \\ \text{O} \\ \\ \text{Me} \\ \\ \text{CH}_2 \\ \\ \text{N} \end{array}$$

RN 911696-74-7 CAPLUS

CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 911696-75-8 CAPLUS

CN Methanone, (4-chlorophenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-76-9 CAPLUS

CN Benzonitrile, 4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

RN 911696-77-0 CAPLUS

CN Methanone, (4-nitrophenyl) [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-78-1 CAPLUS

CN Methanone, [4-bromo-2-(trifluoromethyl)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

$$\begin{array}{c} \operatorname{Br} \\ \\ \operatorname{F_3C} \\ \\ \operatorname{C} \\ \\ \operatorname{CH_2} \\ \\ \operatorname{N} \end{array}$$

RN 911696-79-2 CAPLUS

CN Methanone, (4-bromo-2,6-difluorophenyl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-80-5 CAPLUS

CN Ethanone, 1-[4-[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl](CA INDEX NAME)

RN 911696-81-6 CAPLUS

CN Methanone, [4-(cyclopropylcarbonyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-83-8 CAPLUS

CN Methanone, [4-(phenylsulfonyl)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-85-0 CAPLUS

CN Methanone, (4-bromo-3-fluorophenyl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-86-1 CAPLUS

CN Methanone, (4-bromophenyl)[2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-87-2 CAPLUS

CN Methanone, (4-bromo-2,6-difluorophenyl)[2-[(2-methyl-1pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 911696-88-3 CAPLUS

RN 911696-89-4 CAPLUS

CN Methanone, [4-(methylthio)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911696-90-7 CAPLUS

CN Methanone, [4-(methylsulfonyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911696-91-8 CAPLUS

CN Methanone, (4-methylphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 911696-92-9 CAPLUS

CN Methanone, (4-ethylphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 911696-93-0 CAPLUS

CN Methanone, (4-propylphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 911696-94-1 CAPLUS

CN Methanone, (4-butylphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

- RN 911696-95-2 CAPLUS
- CN Methanone, [4-(phenylmethyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 911696-96-3 CAPLUS
- CN Methanone, (3,4-dimethylphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 911696-97-4 CAPLUS
- CN Methanone, [4-(1,1-dimethylethyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911696-98-5 CAPLUS

CN Pyrrolidine, 1-(4-benzoylbenzoyl)-2-(1-pyrrolidinylmethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911697-00-2 CAPLUS

CN Pyrrolidine, 1-[4-(3-oxo-3-phenylpropyl)benzoyl]-2-(1-pyrrolidinylmethyl), (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 911697-01-3 CAPLUS

CN Methanone, [4-(5-phenylpentyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911697-02-4 CAPLUS

CN Methanone, [4-(2-chloroethyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 911697-03-5 CAPLUS

CN Methanone, (4-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 911697-04-6 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

- RN 911697-05-7 CAPLUS

- RN 911697-06-8 CAPLUS
- CN Benzonitrile, 4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 911697-07-9 CAPLUS
- CN Methanone, (4-nitrophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

- RN 911697-08-0 CAPLUS
- CN Ethanone, 1-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

- HCl
- RN 911697-10-4 CAPLUS
- CN Methanone, [4-(cyclopropylcarbonyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

- HC1
- RN 911697-12-6 CAPLUS
- CN Methanone, [4-(phenylsulfonyl)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 911842-17-6 CAPLUS

CN Methanone, [4-[(4-methylcyclohexyl)thio]phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1011326 CAPLUS

DOCUMENT NUMBER: 145:377194

TITLE: Preparation of (1-benzovlpvrrolidin-2-

> yl) (pyrrolidino) methanes and related derivatives as histamine H3 receptor antagonists

INVENTOR(S):

Beavers, Lisa Selsam; Finley, Don Richard; Gadski, Robert Alan; Hipskind, Philip Arthur; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Stevens,

Freddie Craig; Takakuwa, Takako

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

PCT Int. Appl., 92pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

SOURCE:

PATENT INFORMATION:

| PATENT NO. | | | | | KIN | D | DATE | | | APPLICATION NO. | | | | | DATE | | | |
|---------------|----|-----|-----|-----|-----|----------|------|----------------|-----|-----------------|-----|-----|----------|-----|------|-----|-----|--|
| | | | | | | | | | | | | | | | | | | |
| WO 2006101808 | | | | A1 | | 20060928 | | WO 2006-US8943 | | | | | 20060313 | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KN, | KP, | KR, | |
| | | KZ. | LC. | LK. | LR. | LS. | LT. | LII. | LV. | LY. | MA. | MD. | MG. | MK. | MN. | MW. | MX. | |

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MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
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             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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             KG, KZ, MD, RU, TJ, TM
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                          A1
                                             AU 2006-227815
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     EP 1861360
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                                                                    20070919
PRIORITY APPLN. INFO.:
                                             US 2005-662686P
                                                                 P 20050317
                                             WO 2006-US8943
                                                                 W 20060313
OTHER SOURCE(S):
                         MARPAT 145:377194
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AB The invention is related to the preparation of title compds. I [Y = C or N; RI = H, cyclo/alkenyl, (un) substituted cyclo/alkyl, Ph; R4, R5 = independently H, halo, CH, etc.; R6 = independently at each occurrence H, halo, CF3OH, etc.; with provisos] and their pharmaceutically acceptable salts which are histamine H3 receptor antagonists or inverse agonists. The invention is also related to pharmaceutical compns. comprising pyrrolidines I as well as to the use of compns. I for treating obesity, cognitive deficiencies, narcolepsy, and other histamine H3 receptor-related diseases. Thus, coupling 4-hydroxybenzoic acid with (S)-(+)-1-[(2-Pyrrolidinyl)methyl)pyrrolidine gave pyrrolidine II in 52% yield. (R)-(4-Butoxyphenyl)[2-([pyrrolidin-1-yl)methyl]pyrrolidin-1-yl)methyl]pyrrolidin-1-yl)methyl]pyrrolidin-1-yl)methyl]pyrolidin-1-yl)methyl]pyrolidin-3-yl)methyl

 $\begin{tabular}{ll} (S) - [4-(3-Phenylpropoxy)phenyl] [2-[(pyrrolidin-1-y1)methyl]pyrrolidin-1-y1]methanone \end{tabular}$

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzoylpyrrolidine derivs. as histamine H3 receptor antagonists and inverse agonists)

RN 464900-48-9 CAPLUS

CN Methanone, (3-fluoro-4-hydroxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871489-49-5 CAPLUS

Absolute stereochemistry.

RN 910643-82-2 CAPLUS

CN Methanone, [4-(3-phenylpropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

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yl)methyl]pyrrolidin-1-yl]methanone 871489-50-8P,
(S)-(2-Fluoro-4-hydroxyphenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
vl]methanone 871489-59-7P 910643-38-8P,
(S)-(4-Butoxy-3-fluorophenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
yl]methanone 910643-39-9P, (S)-(4-Propoxyphenyl)[2-[(pyrrolidin-
1-yl)methyl]pyrrolidin-1-yl]methanone 910643-40-2P,
(S)-(4-Butoxyphenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone
910643-41-3P, (S)-[4-(2-Chloroethoxy)phenyl][2-[(pyrrolidin-1-
v1)methv1]pvrrolidin-1-v1]methanone 910643-42-4P,
(S)-[4-[(5-Chloropentyl)oxy]phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-
1-vl]methanone 910643-43-5P, (R)-(4-Butoxyphenyl)[2-[(pyrrolidin-
1-yl)methyl]pyrrolidin-1-yl]methanone 910643-44-6P,
(S)-[2-[(Pyrrolidin-1-y1)methyl]pyrrolidin-1-y1][4-(1,1,2,2-
tetrafluoroethoxy)phenyl]methanone 910643-45-7P,
(S)-[4-(2-Hydroxyethoxy)phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
yl]methanone 910643-46-8P, (S)-[4-(3-Fluoropropoxy)phenyl][2-
[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone hydrochloride
910643-48-0P, (S)-[4-(3-Methoxypropoxy)phenyl][2-[(pyrrolidin-1-
yl)methyl]pyrrolidin-1-yl]methanone trifluoroacetate 910643-49-1P
, (S)-[4-(3-Methylsulfonylpropoxy)phenyl][2-[(pyrrolidin-1-
v1)methv1]pvrrolidin-1-v1]methanone 910643-50-4P,
(S)-[4-(3-Hydroxypropoxy)phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
vl]methanone 910643-51-5P, (+)-(S)-4-[4-[[2-[(Pvrrolidin-1-
v1)methyllpyrrolidin-1-v1]carbonyllphenoxylbutanoic acid methyl ester
910643-52-6P, (+)-(S)-5-[4-[[2-[(Pyrrolidin-1-yl)methyl]pyrrolidin-
1-yl]carbonyl]phenoxy]pentanoic acid methyl ester 910643-53-7P,
(+)-(S)-5-[4-[[2-[(Pyrrolidin-1-v1)methyl]pyrrolidin-1-
vl]carbonvl]phenoxv]pentanoic acid lithium salt 910643-56-0P,
(S)-[2-[(Pvrrolidin-1-vl)methvl]pvrrolidin-1-vl][4-(4, 4, 4-
trifluorobutoxy)phenyl]methanone 910643-57-1P,
(S)-[4-[(5-Fluoropentyl)oxy]phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-
1-y1]methanone 910643-59-3P, (S)-[4-(4-Fluorobutoxy)pheny1][2-
[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone trifluoroacetate
910643-61-7P, (S)-[4-(2-Phenylsulfonylethoxy)phenyl][2-
[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone trifluoroacetate
910643-63-9P, (S)-[4-(4-Methylsulfanylbutoxy)phenyl][2-
[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone trifluoroacetate
910643-65-1P, (S)-[2-[(Pyrrolidin-1-yl)methyl]pyrrolidin-1-yl][4-
(3,3,3-trifluoropropoxy)phenyl]methanone trifluoroacetate
910643-66-2P, (S)-(4-Pentyloxyphenyl)[2-[(pyrrolidin-1-
vl)methvl]pvrrolidin-1-vl]methanone 910643-68-4P,
(S)-(4-Isobutoxyphenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
yl]methanone 910643-69-5P, (S)-(4-Isopropoxyphenyl)[2-
[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone 910643-70-8P,
(S) - [4-(Cyclohexylmethoxy)phenyl] [2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
v1]methanone 910643-71-9P, (S)-(4-Heptvloxyphenv1)[2-
[(pyrrolidin-1-v1)methyl]pyrrolidin-1-v1]methanone 910643-72-0P,
(S)-[4-(Difluoromethoxy)phenyl][2-[(pyrrolidin-1-vl)methyl]pyrrolidin-1-
yl]methanone 910643-73-1P, (S)-(4-Ethoxyphenyl)[2-[(pyrrolidin-1-
yl)methyl]pyrrolidin-1-yl]methanone 910643-74-2P,
(S)-(4-Hexyloxyphenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
vl]methanone 910643-75-3P, (S)-[2-[(Pyrrolidin-1-
v1)methv1]pvrrolidin-1-v1](4-trifluoromethoxyphenv1)methanone
910643-76-4P, (S)-[4-(2-Butoxyethoxy)phenyl][2-[(pyrrolidin-1-
vl)methvl]pvrrolidin-1-vl]methanone 910643-77-5P.
(S)-[4-(2-Phenoxyethoxy)phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
yl]methanone 910643-78-6P, (S)-[4-(Cyclopentyloxy)phenyl][2-
[(pyrrolidin-1-y1)methyl]pyrrolidin-1-y1]methanone 910643-79-7P
910643-80-0P, (S)-[4-[(But-3-enyl)oxy]phenyl][2-[(pyrrolidin-1-
yl)methyl]pyrrolidin-1-yl]methanone 910643-81-1P
910643-83-3P, (S)-[4-(3-Phenylpropoxy)phenyl][2-[(pyrrolidin-1-
yl)methyl]pyrrolidin-1-yl]methanone hydrochloride 910643-84-4P,
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(S)-(4-Phenoxyphenyl)[2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]methanone
910643-86-6P, (S)-[4-(4-Phenoxybutoxy)pheny1][2-[(pyrrolidin-1-
v1)methy1|pyrrolidin-1-v1|methanone trifluoroacetate 910643-88-8P
, (S)-[4-(3-Phenoxypropoxy)phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
vl]methanone trifluoroacetate 910643-90-2P, (S)-[4-[3-(4-
Methoxyphenyl)propoxy]phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
yl]methanone trifluoroacetate 910643-91-3P, (S)-[4-(3-
Methylsulfonylphenoxy)phenyl][2-[(pyrrolidin-1-y1)methyl]pyrrolidin-1-
vl|methanone hydrochloride 910643-92-4P,
(S)-[4-(4-Methylsulfonylphenoxy)phenyl][2-[(pyrrolidin-1-
vl)methyllpyrrolidin-1-vllmethanone hydrochloride 910643-95-7P
910643-96-8P 910643-97-9P 910643-98-0P
910643-99-1P 910644-00-7P 910644-01-8P
910644-03-0P 910644-04-1P 910644-05-2P
910644-06-3P 910644-07-4P 910644-08-5P
910644-09-6P 910644-10-9P 910644-13-2P
910644-14-3P 910644-15-4P 910644-16-5P
910644-17-6P 910644-18-7P 910644-19-8P
910644-20-1P 910644-21-2P 910644-22-3P
910644-23-4P 910644-24-5P 910644-25-6P
910644-26-7P 910644-27-8P 910644-28-9P
910644-29-0P 910644-30-3P 910644-31-4P
910644-32-5P 910644-33-6P 910644-34-7P
910644-35-8P 910644-36-9P 910644-37-0P
910644-38-1P 910644-39-2P 910644-40-5P
910644-41-6P 910644-42-7P 910644-43-8P
910644-44-9P 910644-47-2P 910644-48-3P,
(R)-[4-(3-Chloropropoxy)phenyl][2-[(pyrrolidin-1-yl)methyl]pyrrolidin-1-
vllmethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (drug candidate; preparation of benzoylpyrrolidine derivs. as
   histamine H3 receptor antagonists and inverse agonists)
464900-35-4 CAPLUS
Methanone, [4-(3-chloropropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-
pyrrolidinyl]- (CA INDEX NAME)
```

RN CN

RN 871489-50-8 CAPLUS

CN Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 871489-59-7 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910643-38-8 CAPLUS
- CN Methanone, (4-butoxy-3-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 910643-39-9 CAPLUS
- CN Methanone, (4-propoxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910643-40-2 CAPLUS

CN Methanone, (4-butoxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 910643-41-3 CAPLUS

CN Methanone, [4-(2-chloroethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-42-4 CAPLUS

CN Methanone, [4-[(5-chloropenty1)oxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910643-43-5 CAPLUS

CN Methanone, (4-butoxyphenyl)[(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 910643-44-6 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(1,1,2,2tetrafluoroethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-45-7 CAPLUS

CN Methanone, [4-(2-hydroxyethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910643-46-8 CAPLUS
- CN Methanone, [4-(3-fluoropropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

- RN 910643-48-0 CAPLUS
- CN Methanone, [4-(3-methoxypropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)
 - CM 1
 - CRN 910643-47-9 CMF C20 H30 N2 O3

- CM 2
- CRN 76-05-1

RN 910643-49-1 CAPLUS

N Methanone, [4-[3-(methylsulfonyl)propoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-50-4 CAPLUS

CN Methanone, [4-(3-hydroxypropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-51-5 CAPLUS

CN Butanoic acid, 4-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 910643-52-6 CAPLUS

CN Pentanoic acid, 5-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 910643-53-7 CAPLUS

CN Pentanoic acid, 5-[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxyl-, lithium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

• Li

RN 910643-56-0 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(4,4,4trifluorobutoxy)phenyl]- (CA INDEX NAME)

RN 910643-57-1 CAPLUS

CN Methanone, [4-[(5-fluoropentyl)oxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-59-3 CAPLUS

CN Methanone, [4-(4-fluorobutoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-58-2 CMF C20 H29 F N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F
F-C-CO2H
F

N 910643-61-7 CAPLUS
CN Methanone, [4-[2-(phenylsulfonyl)ethoxylphenyl][(25)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-60-6
CMF C24 H30 N2 O4 S
```

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 910643-63-9 CAPLUS

CN Methanone, [4-[4-(methylthio)butoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-62-8 CMF C21 H32 N2 O2 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 910643-65-1 CAPLUS CN Methanone, ((2S)-2-(1)

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(3,3,3trifluoropropoxy)phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-64-0 CMF C19 H25 F3 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 910643-66-2 CAPLUS

N Methanone, [4-(pentyloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-68-4 CAPLUS

CN Methanone, [4-(2-methylpropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-69-5 CAPLUS

CN Methanone, [4-(1-methylethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910643-70-8 CAPLUS

CN Methanone, [4-(cyclohexylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-71-9 CAPLUS

CN Methanone, [4-(heptyloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-72-0 CAPLUS

CN Methanone, [4-(difluoromethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-73-1 CAPLUS

RN 910643-74-2 CAPLUS

CN Methanone, [4-(hexyloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-75-3 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-76-4 CAPLUS

CN Methanone, [4-(2-butoxyethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910643-77-5 CAPLUS

CN Methanone, [4-(2-phenoxyethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-78-6 CAPLUS

CN Methanone, [4-(cyclopentyloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 910643-79-7 CAPLUS

CN Methanone, [4-(3-methylbutoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910643-80-0 CAPLUS

CN Methanone, [4-(3-buten-1-yloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 910643-81-1 CAPLUS
- CN Methanone, [4-(2-cyclohexen-1-yloxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 910643-83-3 CAPLUS
- CN Methanone, [4-(3-phenylpropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 910643-84-4 CAPLUS

Absolute stereochemistry.

RN 910643-86-6 CAPLUS

CN Methanone, [4-(4-phenoxybutoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-85-5 CMF C26 H34 N2 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1

RN 910643-88-8 CAPLUS

CN Methanone, [4-(3-phenoxypropoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-87-7 CMF C25 H32 N2 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 910643-90-2 CAPLUS

Methanone, [4-[3-(4-methoxypheny1)propoxy]pheny1][(2S)-2-(1-pyrrolidinylmethy1)-1-pyrrolidiny1]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 910643-89-9 CMF C26 H34 N2 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 910643-91-3 CAPLUS

CN Methanone, [4-[3-(methylsulfonyl)phenoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 910643-92-4 CAPLUS

CN Methanone, [4-[4-(methylsulfonyl)phenoxy]phenyl][(2\$)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

RN 910643-95-7 CAPLUS

CN Methanone, (4-hydroxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910643-96-8 CAPLUS

CN Methanone, (4-butoxy-3-fluorophenyl)[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910643-97-9 CAPLUS

CN Methanone, (4-propoxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910643-98-0 CAPLUS

CN Methanone, (4-butoxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910643-99-1 CAPLUS

CN Methanone, [4-(2-chloroethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-00-7 CAPLUS

CN Methanone, [4-[(5-chloropentyl)oxy]phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-01-8 CAPLUS
- CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(1,1,2,2-tetrafluoroethoxylphenyl]- (CA INDEX NAME)

- RN 910644-03-0 CAPLUS
- CN Methanone, [4-(2-hydroxyethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-04-1 CAPLUS
- CN Methanone, [4-(3-fluoropropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-05-2 CAPLUS
- CN Methanone, [4-(3-methoxypropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-06-3 CAPLUS
- CN Methanone, [4-[3-(methylsulfonyl)propoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-07-4 CAPLUS
- CN Methanone, [4-(3-hydroxypropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-08-5 CAPLUS

CN Butanoic acid, 4-[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]-, methyl ester (CA INDEX NAME)

RN 910644-09-6 CAPLUS

CN Pentanoic acid, 5-[4-[[2-(1-pyrrolidinylmethyl)-1 pyrrolidinyl]carbonyl]phenoxy]-, methyl ester (CA INDEX NAME)

RN 910644-10-9 CAPLUS

CN Pentanoic acid, 5-[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]-, lithium salt (1:1) (CA INDEX NAME)

$${
m HO_2C^-}$$
 (CH2) ${
m 4^-}$ 0

• Li

- RN 910644-13-2 CAPLUS
- CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(4,4,4trifluorobutoxy)phenyl]- (CA INDEX NAME)

- RN 910644-14-3 CAPLUS
- CN Methanone, [4-[(5-fluoropentyl)oxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-15-4 CAPLUS
- CN Methanone, [4-(4-fluorobutoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-16-5 CAPLUS

 $\begin{tabular}{ll} CN & Methanone, $ [4-[2-(phenylsulfonyl)ethoxy]phenyl] $ [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- $ (CA INDEX NAME) $ \end{tabular}$

RN 910644-17-6 CAPLUS

CN Methanone, [4-[4-(methylthio)butoxy]phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-18-7 CAPLUS

CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(3,3,3trifluoropropoxy)phenyl]- (CA INDEX NAME)

- RN 910644-19-8 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-20-1 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[2-[(2-methyl-1-pyrrolidinyl)methyl]1-pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-21-2 CAPLU
- CN Methanone, [4-(pentyloxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910644-22-3 CAPLUS

CN Methanone, (4-methoxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910644-23-4 CAPLUS

CN Methanone, [4-(2-methylpropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-24-5 CAPLUS

CN Methanone, [4-(1-methylethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-25-6 CAPLUS

CN Methanone, [4-(cyclohexylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-26-7 CAPLUS

CN Methanone, [4-(heptyloxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

RN 910644-27-8 CAPLUS

CN Methanone, [4-(difluoromethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-28-9 CAPLUS
CN Methanone, (4-ethoxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA
INDEX NAME)

RN 910644-29-0 CAPLUS
CN Methanone, [4-(hexyloxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl](CA INDEX NAME)

RN 910644-30-3 CAPLUS
CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4(trifluoromethoxy)phenyl]- (CA INDEX NAME)

RN 910644-31-4 CAPLUS

CN Methanone, [4-(2-butoxyethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-32-5 CAPLUS

CN Methanone, [4-(2-phenoxyethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-33-6 CAPLUS

CN Methanone, [4-(cyclopentyloxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-34-7 CAPLUS

CN Methanone, [4-(3-methylbutoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

$${\tt Me_2CH-CH_2-CH_2-O}$$

RN 910644-35-8 CAPLUS

CN Methanone, [4-(3-buten-1-yloxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 910644-36-9 CAPLUS

CN Methanone, [4-(2-cyclohexen-1-yloxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910644-37-0 CAPLUS

CN Methanone, [4-(3-phenylpropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910644-38-1 CAPLUS

CN Methanone, [4-(3-phenylpropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

HC1

CN Methanone, (4-phenoxyphenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-(CA INDEX NAME)

- RN 910644-40-5 CAPLUS
- CN Methanone, [4-(4-phenoxybutoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-41-6 CAPLUS
- CN Methanone, [4-(3-phenoxypropoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

- RN 910644-42-7 CAPLUS
- CN Methanone, [4-[3-(4-methoxyphenyl)propoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910644-43-8 CAPLUS

CN Methanone, [4-[3-(methylsulfonyl)phenoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910644-44-9 CAPLUS

CN Methanone, [4-[4-(methylsulfonyl)phenoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 910644-47-2 CAPLUS

Absolute stereochemistry.

RN 910644-48-3 CAPLUS

CN Methanone, [4-(3-chloropropoxy)phenyl][(2R)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

IT 867256-58-4P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of benzoylpyrrolidine derivs. as histamine H3 receptor antagonists and inverse agonists)

867256-58-4 CAPLUS RN

Methanone, (4-bromophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-CN (CA INDEX NAME)

Absolute stereochemistry.

Br

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS 4 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:383322 CAPLUS

DOCUMENT NUMBER: 144:432686 TITLE:

Benzoylpyrrolidines as histamine H3 receptor inhibitors, their preparation, pharmaceutical

compositions, and use in therapy

INVENTOR(S):

Jesudason, Cynthia Darshini; Stevens, Freddie Craig PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| P. | ATENT | NO. | | | KIND DATE | | | | | APPL | | | | | | | |
|--------|-----------------------|---|-----|-----|-----------------|-----------------|------|--------|------|-------------------------|------|-----|------------|------------|-----|------|-----|
| W | WO 2006044228 | | | | | A1 20060427 | | | | | | | | | | | |
| | W: AE, AG, Al | | | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KP, | KR, | KZ, |
| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | LY, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, |
| | | NA, | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, |
| | | SK, | SL, | SM, | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, |
| | | YU, | ZA, | ZM, | ZW | | | | | | | | | | | | |
| | RW | : AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, |
| | | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | TG, | BW, | GH, |
| | | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | AZ, | BY, |
| | | KG, | KZ, | MD, | RU, | TJ, | TM | | | | | | | | | | |
| E | P 180 | GM, KE, LS,
KG, KZ, MD,
1805169
R: AT, BE, BG, | | | A1 | | 2007 | 0711 | | EP 2 | 005- | | 20051011 | | | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | IE, |
| | | IS, | IT, | LI, | LT, | LU, | LV, | MC, | NL, | PL, | PT, | RO, | SE, | SI, | SK, | TR | |
| J. | JP 2008516952 | | | | | | 2008 | 0522 | | JP 2 | 007- | | 20051011 | | | | |
| U | US 20080015235 | | | | | | 2008 | 0117 | | US 2007-577388 | | | | | | 0070 | 417 |
| PRIORI | RIORITY APPLN. INFO.: | | | | | US 2004-619785P | | | | | | | 1 | P 20041018 | | | |
| | | | | | WO 2005-US36023 | | | | | | | 1 | W 20051011 | | | | |
| OTHER | SOURC | E(S) · | | | CASI | REAC | T 14 | 4 - 43 | 2686 | MA1 | RPAT | 144 | 432 | 686 | | | |

OTHER SOURCE(S): CASREACT 144:432686; MARPAT 144:432686

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
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The invention relates to benzoylpyrrolidines I, which are
histamine H3 receptor antagonists or inverse agonists. In compds.
I, R1 is (un)substituted 5-membered heteroarv1 ring containing 1-4 heteroatoms
independently selected from N. O. and S; R4 and R5 are independently
selected from H, OH, halo, optionally halo-substituted C1-3 alkyl, and
optionally halo-substituted C1-3 alkoxy; and each R6 is independently
selected from H, halo, OH, NH2, optionally halo-substituted C1-3 alkyl,
(optionally halo-substituted C1-7 alkyl)n-amino, and optionally
halo-substituted C1-7 alkoxy, where n is 1 or 2. The invention also
relates to the preparation of I, pharmaceutical compns. comprising a compound I
and a pharmaceutically acceptable carrier, as well as to the use of the
compns. to treat obesity, cognitive deficiencies, narcolepsy,
and other histamine H3 receptor-related diseases. Coupling of
N-Boc-proline with (R)-2-methylpyrrolidine hydrochloride followed by
deprotection and hydride reduction gave chiral pyrrolidine II, which was
coupled with 2-fluoro-4-hydroxybenzoic acid to give compound III.
Substitution of 3-(chloromethyl)-1,2,4-oxadiazole with III gave
benzovlpvrrolidine IV. Compound IV has high affinity for human H3
receptors, expressing a Ki value of 1.8 nM.
884658-56-4P 884658-57-5P 884658-59-7P
884658-61-1P 884658-62-2P 884658-63-3P
884658-64-4P 884658-65-5P 884658-66-6P
884658-67-7P 884658-68-8P 884658-69-9P
884658-70-2P 884658-71-3P 884658-72-4P
884658-73-5P 884658-74-6P 884658-75-7P
884658-76-8P 884658-77-9P 884658-78-0P
884658-79-1P 884658-80-4P 884658-81-5P,
5-[4-(2-Pvrrolidin-1-vlmethylpvrrolidine-1-carbonyl)phenoxylmethyl]thioph
ene-2-carbonitrile 884658-82-6P, [2-Fluoro-4-(thiazol-4-
ylmethoxy)phenyl](2-pyrrolidin-1-ylmethylpyrrolidin-1-yl)methanone
884658-83-7P, [4-(Furan-2-ylmethoxy)phenyl](2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)methanone 884658-84-8P,
5-[[3-Fluoro-4-(2-pyrrolidin-1-ylmethylpyrrolidine-1-
carbonvl)phenoxy[methyl]thiophene-2-carbonitrile 884658-85-9P,
[2,6-Difluoro-4-(thiazol-4-vlmethoxy)phenyl](2-pyrrolidin-1-
vlmethylpyrrolidin-1-vl)methanone 884658-86-0P.
[2-Fluoro-4-(thiazol-4-vlmethoxy)phenyl][2-(2-methylpyrrolidin-1-
ylmethyl)pyrrolidin-1-yl]methanone 884658-87-1P,
[2,6-Difluoro-4-(thiazol-4-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-
vlmethvl)pvrrolidin-1-vl|methanone 884658-88-2P,
[2-Fluoro-4-(2-methylthiazol-4-ylmethoxy)phenyl](2-pyrrolidin-1-
vlmethvlpvrrolidin-1-vl)methanone 884658-89-3P,
[2-Fluoro-4-(thiophen-3-ylmethoxy)phenyl](2-pyrrolidin-1-
ylmethylpyrrolidin-1-yl)methanone 884658-90-6P,
[2-Fluoro-4-(thiophen-3-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-
vlmethyl)pyrrolidin-1-vl]methanone 884658-91-7P,
[2-Fluoro-4-(thiophen-2-vlmethoxy)phenvl](2-pvrrolidin-1-
vlmethvlpvrrolidin-1-vl)methanone 884658-92-8P,
[2-Fluoro-4-(thiophen-2-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-
ylmethyl)pyrrolidin-1-yl]methanone 884658-93-9P,
5-[(3-Fluoro-4-[2-(2-methylpyrrolidin-1-ylmethyl)pyrrolidine-1-
carbonyl]phenoxy]methyl]thiophene-2-carbonitrile 884658-94-0P,
[2-Fluoro-4-(2-methylthiazol-4-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-
ylmethyl)pyrrolidin-1-yl]methanone 884658-95-1P,
4-[[3-Fluoro-4-[2-(2-methylpyrrolidin-1-ylmethyl)pyrrolidine-1-
carbonyl]phenoxy]methyl]thiophene-2-carbonitrile 884658-96-2P,
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- [2-Fluoro-4-([1,2,4]oxadiazol-3-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-ylmethyl)pyrrolidin-1-yl]methanone 884658-97-3P,
- [2-Fluoro-4-(5-methylisoxazol-3-ylmethoxy)phenyl][2-(2-methylpyrrolidin-1-ylmethyl)pyrrolidin-1-yl]methanone 884658-98-4P,
- [2-Fluoro-4-(5-trifluoromethylfuran-2-ylmethoxy)phenyl][2(2-
- methylpyrrolidin-1-ylmethyl)pyrrolidin-1-yl]methanone 884658-99-5P
- , 5-[[3-Fluoro-4-[(2-(2-methylpyrrolidin-1-ylmethyl)pyrrolidin-1-yl)carbonyl]phenoxy]methyl]thiophene-3-carbonitrile 884659-00-1P
- 884659-33-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
- (Uses) (drug candidate; preparation of benzoylpyrrolidines as histamine H3 receptor inhibitors)
- RN 884658-56-4 CAPLUS
- CN 2-Thiophenecarbonitrile, 5-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-57-5 CAPLUS
- CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(4-thiazolylmethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-59-7 CAPLUS
- CN Methanone, [2-fluoro-4-(4-thiazolylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-61-1 CAPLUS

CN Methanone, [2-fluoro-4-(2-furanylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-62-2 CAPLUS

CN 2-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-63-3 CAPLUS

CN Methanone, [2,6-difluoro-4-(4-thiazolylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-64-4 CAPLUS

CN Methanone, [2-fluoro-4-(4-thiazolylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-65-5 CAPLUS

CN Methanone, [2,6-difluoro-4-(4-thiazolylmethoxy)phenyl][(2S)-2-[[(2R)-2methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-66-6 CAPLUS

CN Methanone, [2-fluoro-4-[(2-methyl-4-thiazolyl)methoxy]phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-67-7 CAPLUS
- CN Methanone, [2-fluoro-4-(3-thienylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-68-8 CAPLUS
- CN Methanone, [2-fluoro-4-(3-thienylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-69-9 CAPLUS
- CN Methanone, [2-fluoro-4-(2-thienylmethoxy)phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-70-2 CAPLUS

CN Methanone, [2-fluoro-4-(2-thienylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-71-3 CAPLUS

CN 2-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-72-4 CAPLUS

CN Methanone, [2-fluoro-4-[(2-methyl-4-thiazolyl)methoxy]phenyl][(2S)-2[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-73-5 CAPLUS
- CN 2-Thiophenecarbonitrile, 4-[[3-fluoro-4-[[(2S)-2-[[(2R)-2-methyl-1pyrrolidinyl]methyl]-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-74-6 CAPLUS
- CN Methanone, [2-fluoro-4-(1,2,4-oxadiazol-3-ylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 884658-75-7 CAPLUS
- CN Methanone, [2-fluoro-4-(1,2,4-oxadiazol-3-ylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 884658-74-6 CMF C20 H25 F N4 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 884658-76-8 CAPLUS

CN Methanone, [2-fluoro-4-[(5-methyl-3-isoxazolyl)methoxy]phenyl][(2S)-2[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-77-9 CAPLUS

CN Methanone, [2-fluoro-4-[(5-methyl-3-isoxazolyl)methoxy]phenyl][(2S)-2[((2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 884658-76-8 CMF C22 H28 F N3 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 884658-78-0 CAPLUS

CN Methanone, [2-fluoro-4-[[5-(trifluoromethyl)-2furanyl]methoxy]phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-79-1 CAPLUS

CN Methanone, [2-fluoro-4-[[5-(trifluoromethyl)-2furanyl]methoxy]phenyl] (28)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 884658-78-0

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 884658-80-4 CAPLUS

CN 3-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884658-81-5 CAPLUS

CN 2-Thiophenecarbonitrile, 5-[[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

RN 884658-82-6 CAPLUS
CN Methanone, [2-fluoro-4-(4-thiazolylmethoxy)phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-83-7 CAPLUS

CN Methanone, [4-(2-furanylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 884658-84-8 CAPLUS CN 2-Thiophenecarbonits

2-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & \\ NC & & \\ S & & \\ CH_2 - O & \\ \end{array}$$

RN 884658-85-9 CAPLUS
CN Methanone, [2,6-difluoro-4-(4-thiazolylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-86-0 CAPLUS

CN Methanone, [2-fluoro-4-(4-thiazolylmethoxy)phenyl][2-[(2-methyl-1pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-87-1 CAPLUS

CN Methanone, [2,6-difluoro-4-(4-thiazolylmethoxy)phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-88-2 CAPLUS

CN Methanone, [2-fluoro-4-[(2-methyl-4-thiazolyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-89-3 CAPLUS

CN Methanone, [2-fluoro-4-(3-thienylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 884658-90-6 CAPLUS

CN Methanone, [2-fluoro-4-(3-thienylmethoxy)phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-91-7 CAPLUS
- CN Methanone, [2-fluoro-4-(2-thienylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-92-8 CAPLUS
- CN Methanone, [2-fluoro-4-(2-thienylmethoxy)phenyl][2-[(2-methyl-1pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-93-9 CAPLUS
- CN 2-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

- RN 884658-94-0 CAPLUS
- CN Methanone, [2-fluoro-4-[(2-methyl-4-thiazolyl)methoxy]phenyl][2-[(2-methyl1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-95-1 CAPLUS
- CN 2-Thiophenecarbonitrile, 4-[[3-fluoro-4-[[2-[(2-methyl-1pyrrolidinyl)methyl]-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

- RN 884658-96-2 CAPLUS
- $\begin{tabular}{ll} CN & Methanone, $[2-fluoro-4-(1,2,4-oxadiazol-3-ylmethoxy)pheny1][2-[(2-methyl-1-pyrrolidinyl)-1-pyrrolidinyl]-$ (CA INDEX NAME) $$ (CA INDEX$

- RN 884658-97-3 CAPLUS
- CN Methanone, [2-fluoro-4-[(5-methyl-3-isoxazolyl)methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN
- RN 884658-98-4 CAPLUS
 CN Methanone, [2-fluoro-4-[[5-(trifluoromethyl)-2-furanyl]methoxy]phenyl][2[[2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 884658-99-5 CAPLUS
- CN 3-Thiophenecarbonitrile, 5-[[3-fluoro-4-[[2-[(2-methyl-1pyrrolidinyl)methyl]-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

RN 884659-00-1 CAPLUS

CN Methanone, [4-(2-furanylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 884659-33-0 CAPLUS

CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-(4-thiazolylmethoxy)phenyl]- (CA INDEX NAME)

- IT 871489-49-5P 871489-50-8P 871489-59-7P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzoylpyrrolidines as histamine ${\tt H3}$ receptor inhibitors)

- RN 871489-49-5 CAPLUS

Absolute stereochemistry.

- RN 871489-50-8 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 871489-59-7 CAPLUS
- Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-[[(2R)-2-methyl-1-mpyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- REFERENCE COUNT:
- 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- ANSWER 5 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: DOCUMENT NUMBER: TITLE:
 - 2006:193420 CAPLUS 144:274129
 - Preparation of 1-(hetero)aroy1-2-(pyrrolidin-1ylmethyl)pyrrolidine histamine H3 receptor agents and therapeutic uses
- INVENTOR(S): Finley, Don Richard; Finn, Terry Patrick; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Takakuwa, Takako

PATENT ASSIGNEE(S): Eli Lilly and Company, USA SOURCE: PCT Int. Appl., 123 pp. CODEN: PIXXD2

Patent

DOCUMENT TYPE:

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | | | | | | | | | | | | | | |
|---------|------------------------|------|-----|-----|-------------|------------------------------------|------|---------|--|-----|-----|-----|-----|-----|----------|------|-----|--|
| | WO 2006023462 | | | | | | | | | | | | | | | | | |
| | W: AE, AG, AL, | | | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KM, | KP, | KR, | KΖ, | |
| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | |
| | NG, NI, I | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | | |
| | | SL, | SM, | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | |
| | | ZA, | ZM, | ZW | | | | | | | | | | | | | | |
| | RW: | | | | | | | DE, | | | | | | | | | | |
| | | | | | | | | NL, | | | | | | | | | | |
| | | | | | | | | GQ, | | | | | | | | | | |
| | | | | | | | | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | ΑZ, | BY, | |
| | | | KZ, | | | | | | | | | | | | | | | |
| | AU 2005277518 | | | | | | | | | | | | | | | | | |
| | | | | | A1 20060302 | | | | | | | | | | | | | |
| EP | | | | | | | | | EP 2005-789881
DK, EE, ES, FI, FR, GB | | | | | | | | | |
| | R: | | | | | | | | | | | | | | | | | |
| | IS, IT, LI, | | | | | | | | | | | | | | | | | |
| | CN 101006082 | | | | | | | | | | | | | | | | | |
| JP | JP 2008510808 | | | | | | 2008 | 0410 | JP 2007-529947 | | | | | | 20050815 | | | |
| | | | | | | | | | BR 2005-14553 | | | | | | | | | |
| IN | 2007 | KNUU | 388 | | A | | 2007 | 0 / 0 6 | IN 2007-KN388 | | | | | | 20070205 | | | |
| | | | | | | A 20070507 MX 2007-2274 | | | | | | | | | | | | |
| PRIORIT | PRIORITY APPLN. INFO.: | | | | | US 2004-603628P
WO 2005-US29032 | | | | | | | | | | | | |
| OTHER S | OURCE | (S): | | | CAS | REAC | т 14 | 4:27 | | | | | | | w 2 | 0050 | 812 | |

II

ylmethyl)pyrrolidines (shown as I; variables defined below; e.g. (S)-[4-[4-(pyridin-3-y1)thiazol-2-y1]pheny1][2-[(pyrrolidin-1v1)methv1|pvrrolidin-1-v1|methanone dihvdrochloride (free base shown as II)) or a pharmaceutically acceptable salt thereof, having histamine-H3 receptor antagonist or inverse agonist activity, as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising compds. I as well as methods of using them to treat obesity, cognitive deficiencies, narcolepsy, and other histamine H3 receptor-related diseases. Although the methods of preparation are not claimed, prepas, and/or characterization data for 57 examples of I are included. For example, II was prepared by converting sodium 4-[4-(pyridin-3-y1)thiazo1-2-y1]benzoate to the acid chloride and then condensing it with (S)-(+)-1-[(2pyrrolidinyl)methyl]pyrrolidine in the presence of pyridine. For I: X = C (substituted with H or the optional substituents indicated herein), or N; R1 = -HET ((un)substituted on C, independently, 1-3 times with R2, and optionally once substituted on N with R3), or benzo-fused heterocycle ((un) substituted on C, independently, 1-3 times with R2, and optionally once substituted on N with R3); R2 = at each occurrence -H, -halogen, -(C1-C7) alkyl ((un)substituted with 1-3 halogens), -CN, -C(0)R7, -C(0)OR7, et al. R3 = at each occurrence -H, -(C1-C7) alkyl ((un)substituted with 1-3 halogens), -SO2R7, -C(O)R7, -C(O)NR7R8, or -C(0)OR7; R4 and R5 = -H, -OH, -halogen, -(C1-C3)alkvl ((un)substituted with 1-3 halogens), or -OR9, provided that when X is N, then R4 and R5 are not attached to X; R6 = -H, -halo, -(C1-C3) alkyl ((un)substituted with 1-3 halogens), -NH2, -NR7R8, -OH, or -OR7; R7 and R8 = -H, -Ph, -(C1-C7) alkyl ((un)substituted with 1-3 halogens); or R7 and R8 combine with the atom to which they are attached to form a 4 to 7 membered ring; R9 is -H, -halo, -(C1-C3) alkyl ((un)substituted with 1-3 halogens), or -OR7. All compds. set forth in the examples exhibit affinity for the H3 receptor >1 μM in the H3R binding assay; e.g. Ki = 3.1 nM for II·2HCl. 867256-60-8, (4-Bromo-2-fluorophenyl)[(S)-2-[(pyrrolidin-1vl)methyl]pvrrolidin-1-vl]methanone 877675-35-9,

4-[[2-[(Pyrrolidin-1-yl)methyl]pyrrolidin-1-yl]carbonyl]phenyl bromide RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1-(hetero)aroyl-2-(pyrrolidin-1-ylmethyl)pyrrolidine histamine H3 receptor agents and therapeutic uses) 867256-60-8 CAPLUS

Methanone, (4-bromo-2-fluorophenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN

CN

RN 877675-35-9 CAPLUS

CN Methanone, (4-bromophenyl)[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1331244 CAPLUS

DOCUMENT NUMBER: 144:51445

TITLE: Preparation of 2-(pyrrolidin-1-ylmethyl)pyrrolidine derivatives as histamine H3 receptor

antagonists

INVENTOR(S):

Beavers, Lisa Selsam; Gadski, Robert Alan; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Stevens,

Freddie Craig Eli Lilly and Company, USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR

| PATENT NO. | | | | | KIND DATE | | | | APPL | ICAT | DATE | | | | | | | |
|----------------|------------|------|------|-----|-------------|------|------|------|-----------------|------|----------|------|-----|-----|----------|------|-----|--|
| WO | 2005121080 | | | | A1 20051222 | | | | WO 2 | 005- | 20050524 | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | | | | | | DE, | | | | | | | | | | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KM, | KP, | KR, | ΚZ, | |
| | | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | |
| | | NG, | NI, | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | |
| | | SL, | SM, | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | |
| | | ZA, | ZM, | zw | | | | | | | | | | | | | | |
| | RW: | BW, | GH, | GM, | KE, | LS, | MW, | ΜZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | TJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | MR, | ΝE, | SN, | TD, | | | | | | | | | | | | | |
| ΑU | 2005 | 2521 | 78 | | | | | | AU 2005-252178 | | | | | | | | | |
| CA | 2567 | 513 | | | A1 | | 2005 | 1222 | CA 2005-2567513 | | | | | | | | | |
| ΕP | 1756 | 051 | | | A1 | | 2007 | 0228 | EP 2005-754884 | | | | | | 20050524 | | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | |
| | | IS, | | | | | MC, | | | | | | | | | | | |
| | 1956 | | | | | | 2007 | | | | | | | | | | | |
| | 2005 | | | | | | 2008 | 0102 | | | | | | | | 0050 | 524 | |
| | 2008 | | | | | | 2008 | | | | 007- | | | | | 0050 | | |
| IN | 2006 | KN03 | 536 | | A | | 2007 | 0615 | | IN 2 | 006- | KN35 | 36 | | 2 | 0061 | 127 | |
| MX 2006PA13951 | | | | A | | 2007 | 0315 | | MX 2 | 006- | PA13 | 951 | | 2 | 0061 | 130 | | |
| RITY | Y APP | LN. | INFO | .: | | | | | | | 004- | | | | | | | |
| | | | | | | | | | | WO 2 | 005- | US18 | 249 | | W 2 | 0050 | 524 | |
| | | | | | | | | | | | | | | | | | | |

AB The title compds. I [wherein Ar = (un)substituted (hetero)aryl; Rl and R2 = independently H, OH, halo, CF3, etc.; R3 = H, halo, CF3, NH2, etc.] or enantiomers or pharmaceutically acceptable salts thereof were prepared as histamine-H3 receptor antagonists. For example, the compound II•CF3CO2H was prepared III•CF3CO2H showed antagonistic activity to [35S]GTP Y[S] with Ki of 4.1 nM. I are useful for the treatment of obesity, cognitive deficiencies, narcolepsy, and other histamine H3 receptor-related diseases (no data).

II

IT 871488-55-0P 871488-71-0P 871488-74-3P 871489-38-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of (pyrrolidinylmethyl)pyrrolidine derivs. as histamine H3 receptor antagonists)

RN 871488-55-0 CAPLUS

CN Benzoic acid, 4-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-

pyrrolidinyl]carbonyl]phenoxy]methyl]-, methyl ester (CA INDEX NAME)

RN 871488-71-0 CAPLUS

CN Methanone, [4-(2-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-74-3 CAPLUS

CN Methanone, [2-fluoro-4-(2-pyridinylmethoxy)phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871489-38-2 CAPLUS

CN Benzoic acid, 4-[[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenoxy]methyl]-, lithium salt (1:1) (CA INDEX NAME)

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871487-72-8P 871487-75-1P 871487-78-4P
871487-81-9P 871487-84-2P 871487-87-5P
871487-90-0P 871487-93-3P 871487-96-6P
871487-99-9P 871488-02-7P 871488-07-2P
871488-11-8P 871488-15-2P 871488-19-6P
871488-23-2P 871488-27-6P 871488-30-1P
871488-33-4P 871488-35-6P 871488-37-8P
871488-40-3P 871488-42-5P 871488-44-7P
871488-47-0P 871488-50-5P 871488-53-8P
871488-57-2P 871488-59-4P 871488-61-8P
871488-62-9P 871488-63-0P 871488-64-1P
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871488-68-5P 871488-69-6P 871488-70-9P
871488-72-1P 871488-73-2P 871488-75-4P
871488-76-5P 871488-77-6P 871488-78-7P
871488-79-8P 871488-80-1P 871488-81-2P
871488-82-3P 871488-83-4P 871488-84-5P
871488-85-6P 871488-87-8P 871488-89-0P
871488-91-4P 871488-92-5P 871488-93-6P
871488-94-7P 871488-95-8P 871488-96-9P
871488-97-0P 871488-98-1P 871488-99-2P
871489-00-8P 871489-01-9P 871489-02-0P
871489-03-1P 871489-04-2P 871489-05-3P
871489-06-4P 871489-07-5P 871489-08-6P
871489-09-7P 871489-10-0P 871489-11-1P
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871489-18-8P 871489-19-9P 871489-20-2P
871489-21-3P 871489-22-4P 871489-23-5P
871489-24-6P 871489-25-7P 871489-26-8P
871489-27-9P 871489-28-0P 871489-29-1P
871489-30-4P 871489-31-5P 871489-32-6P
871489-33-7P 871489-34-8P 871489-35-9P
871489-36-0P 871489-37-1P 871489-39-3P
871489-40-6P 871489-41-7P 871489-43-9P
871489-44-0P 871489-45-1P 871489-46-2P
871489-47-3P 871489-48-4P
```

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(drug candidate; preparation of (pyrrolidinylmethyl)pyrrolidine derivs. as histamine ${\rm H3}$ receptor antagonists)

RN 871487-72-8 CAPLUS

CN Methanone, [4-(phenylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871487-75-1 CAPLUS

CN Benzonitrile, 3-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM '

CRN 871487-74-0

CMF C24 H27 N3 O2
Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN Methanone, [(25)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[3-(trifluoromethoxy)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871487-77-3 CMF C24 H27 F3 N2 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 871487-81-9 CAPLUS

Methanone, [4-[(3-methoxypheny1)methoxy]pheny1][(2S)-2-(1-pyrrolidinylmethy1)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871487-80-8

CMF C24 H30 N2 O3

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 871487-84-2 CAPLUS CN Methanone, [(2S)-2-(

Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[3-(trifluoromethyl)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871487-83-1 CMF C24 H27 F3 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F-C-C02H
RN
     871487-87-5 CAPLUS
CN
    Methanone, [(2S)-2-(1-pvrrolidinvlmethvl)-1-pvrrolidinvl][4-[[4-
     (trifluoromethyl)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1)
     (CA INDEX NAME)
     CM
          1
     CRN 871487-86-4
     CMF C24 H27 F3 N2 O2
```

Absolute stereochemistry.

CM CRN 76-05-1 CMF C2 H F3 O2

RN

CN Methanone, [4-[(4-methylphenyl)methoxy]phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME) CM 1

CRN 871487-89-7 CMF C24 H30 N2 O2

871487-90-0 CAPLUS

CM 2

CRN 76-05-1 CMF C2 H F3 O2

CN

RN 871487-93-3 CAPLUS

Methanone, [4-[(3-methylphenyl)methoxy]phenyl]][(2S)-2-(1-pyrroliddinylmethyl)-1-pyrroliddinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871487-92-2 CMF C24 H30 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F-C-CO2H
     871487-96-6 CAPLUS
RN
    Benzonitrile, 4-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-
CN
    pyrrolidinyl]carbonyl]phenoxy]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA
     INDEX NAME)
    CM
          1
    CRN 871487-95-5
    CMF C24 H27 N3 O2
Absolute stereochemistry.
```

CRN 76-05-1 CMF C2 H F3 O2

RN 871487-99-9 CAPLUS

Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4-CN (trifluoromethoxy)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871487-98-8 CMF C24 H27 F3 N2 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-02-7 CAPLUS CN Methanone, [4-[(3-f]

Methanone, [4-[(3-fluorophenyl)methoxy]phenyl][(25)-2-(1-pyrroliddinylmethyl)-1-pyrroliddinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-01-6 CMF C23 H27 F N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F C-CO2H
F

RN 871488-07-2 CAPLUS
CN Methanone, [4-[(4-fluorophenyl)methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-06-1

CMF C23 H27 F N2 O2

Absolute stereochemistry.
```

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-11-8 CAPLUS (CM Methanone, [4-[(2-methylphenyl)methoxylphenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-10-7 CMF C24 H30 N2 O2

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-15-2 CAPLUS CN Methanone, [4-[(2,6-

Methanone, [4-[(2,6-difluorophenyl)methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-14-1 CMF C23 H26 F2 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

```
F - C-CO2H
F RN 871488-19-6 CAPLUS
RN 8871488-19-6 CAPLUS
(CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[2-(trifluoromethyl)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1)
(CA INDEX NAME)

CM 1
CRN 871488-18-5
CMF C24 H27 F3 N2 O2
```

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-23-2 CAPLUS

CN Methanone, [4-[[2-[(phenylsulfonyl)methyl]phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-22-1 CMF C30 H34 N2 O4 S

CRN 76-05-1 CMF C2 H F3 O2

RN CN

871488-27-6 CAPLUS
Pyrrolidine, 1-[4-[(4-benzoylphenyl)methoxy]benzoyl]-2-(1pyrrolidinylmethyl)-, (2S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871488-26-5 CMF C30 H32 N2 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-30-1 CAPLUS

CN Methanone, [4-(3-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-33-4 CAPLUS

CN Methanone, [4-(4-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-35-6 CAPLUS

CN Methanone, [4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-37-8 CAPLUS

CN Benzamide, N, N-dimethyl-4-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-40-3 CAPLUS

CN Benzamide, N,N-dimethyl-3-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-42-5 CAPLUS

 ${\tt CN \quad Benzamide, \ N-methyl-4-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinylmethyl$

pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-44-7 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[6-(trifluoromethyl)-3-pyridinyl]methoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-47-0 CAPLUS

CN Methanone, [4-[(6-chloro-3-pyridinyl)methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

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RN 871488-50-5 CAPLUS
```

CN Methanone, [4-[(4-methoxypheny1)methoxy]pheny1][(2S)-2-(1pyrrolidiny1methy1)-1-pyrrolidiny1]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-49-2 CMF C24 H30 N2 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-53-8 CAPLUS

CN Methanone, [(25)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[2-(trifluoromethoxy)phenyl]methoxy]phenyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-52-7 CMF C24 H27 F3 N2 O3

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-57-2 CAPLUS CN Methanone, [4-[[4-(

Methanone, [4-[[4-(1-pyrrolidinylcarbonyl)phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-59-4 CAPLUS

CN Methanone, [4-[[4-(1-azetidinylcarbonyl)phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-61-8 CAPLUS

CN Methanone, [4-[(4-(1-piperidinylcarbonyl)phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-62-9 CAPLUS

CN Methanone, [2-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][(2S)-2(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-63-0 CAPLUS

CN Benzamide, 4-[[3-fluoro-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-64-1 CAPLUS

CN Methanone, [2-fluoro-4-(4-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-65-2 CAPLUS

CN Methanone, [4-[(6-chloro-3-pyridinyl)methoxy]-2-fluorophenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 871488-66-3 CAPLUS
- CN Methanone, [2-fluoro-4-[(4-fluorophenyl)methoxy]phenyl][(2S)-2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 871488-67-4 CAPLUS
- CN Methanone, [3-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 871488-68-5 CAPLUS
- CN Benzamide, 4-[[2-fluoro-4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-69-6 CAPLUS

CN Methanone, [(25)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4-[(trifluoromethyl)thio]phenyl]methoxy]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-70-9 CAPLUS

CN Methanone, [(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4[(trifluoromethyl)sulfonyl]phenyl]methoxy]phenyl]- (CA INDEX NAME)

RN 871488-72-1 CAPLUS

CN Methanone, [4-(2-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

Absolute stereochemistry.

●2 HC1

- RN 871488-73-2 CAPLUS
- CN Methanone, [4-[(4-fluorophenyl)methoxy]phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

- RN 871488-75-4 CAPLUS
- CN Methanone, [2-fluoro-4-(2-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

- RN 871488-76-5 CAPLUS
- CN Methanone, [2,6-difluoro-4-(2-pyridinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 871488-77-6 CAPLUS
- CN Methanone, [2-fluoro-4-(2-pyridinylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

- RN 871488-78-7 CAPLUS
- CN Methanone, [4-(2-pyrazinylmethoxy)phenyl][(2S)-2-(1-pyrrolidinylmethyl)-1-

pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 871488-79-8 CAPLUS
- CN Benzoic acid, 4-[[4-[[(2S)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinylcarbonyl]phenoxy]methyl]-, lithium salt (1:1) (CA INDEX NAME)

Absolute stereochemistry.

● Li

- RN 871488-80-1 CAPLUS
- CN Methanone, [2,6-difluoro-4-(2-pyridinylmethoxy)phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-81-2 CAPLUS

CN Methanone, [(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl][4-(2-pyridinylmethoxy)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-82-3 CAPLUS

CN Methanone, [4-(2-pyridinylmethoxy)phenyl][(2R)-2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-83-4 CAPLUS

CN Methanone, [2-fluoro-4-[(6-methyl-2-pyridinyl)methoxy]phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-84-5 CAPLUS

CN Methanone, [4-[(6-methyl-2-pyridinyl)methoxy]phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-85-6 CAPLUS

CN Methanone, [2-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][(2S)-2[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-87-8 CAPLUS

CN Methanone, [2-fluoro-4-[(4-fluorophenyl)methoxy]phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]-, 2,2,2-trifluoroacetate
(1:1) (CA INDEX NAME)

CM 1

CRN 871488-86-7 CMF C24 H28 F2 N2 O2

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-89-0 CAPLUS

CN Methanone, [2-fluoro-4-[[2-([phenylsulfonyl]methyl]phenyl]methoxy]phenyl][
(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-88-9 CMF C31 H35 F N2 O4 S

CRN 76-05-1 CMF C2 H F3 O2

RN 871488-91-4 CAPLUS CN Methanone, [2-fluore

Methanone, [2-fluoro-4-[(6-methoxy-3-pyridiny1)methoxy]pheny1][(2S)-2-[[(2R)-2-methy1-1-pyrrolidiny1]methy1]-1-pyrrolidiny1]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871488-90-3 CMF C24 H30 F N3 O3

Absolute stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 02

RN 871488-92-5 CAPLUS

CN Methanone, [4-[[2-fluoro-4-[(trifluoromethyl)sulfonyl)phenyl]methoxy]phenyl][(2S)-2-[[(2R)-2-methyl-1-pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 871488-93-6 CAPLUS

CN Methanone, [4-(phenylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871488-94-7 CAPLUS

CN Benzonitrile, 3-[[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

- RN 871488-95-8 CAPLUS
- CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[3-(trifluoromethoxy)phenyl]methoxy]phenyl]- (CA INDEX NAME)

- RN 871488-96-9 CAPLUS
- CN Methanone, [4-[(3-methoxyphenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

$$\Diamond$$

- RN 871488-97-0 CAPLUS

- RN 871488-98-1 CAPLUS
- CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4-(trifluoromethyl)phenyl]methoxy]phenyl]- (CA INDEX NAME)

RN 871488-99-2 CAPLUS

Methanone, [4-[(4-methylphenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-CN pyrrolidinyl]- (CA INDEX NAME)

RN 871489-00-8 CAPLUS CN

Methanone, [4-[(3-methylphenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-01-9 CAPLUS
CN Benzonitrile, 4-[[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]lparbonyl]phenoxylmethyl]- (CA INDEX NAME)

RN 871489-02-0 CAPLUS CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[4-(trifluoromethoxy)phenyl]methoxy]phenyl]- (CA INDEX NAME)

RN 871489-03-1 CAPLUS

CN Methanone, [4-[(3-fluorophenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-04-2 CAPLUS

CN Methanone, [4-[(4-fluorophenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-05-3 CAPLUS CN Methanone, [4-[(2-me

Methanone, [4-[(2-methylphenyl)methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-06-4 CAPLUS

CN Methanone, [4-[(2,6-difluorophenyl)methoxy]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-07-5 CAPLUS
CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[2(trifluoromethyl)phenyl]methoxy[phenyl]- (CA INDEX NAME)

871489-08-6 CAPLUS

RN

CN

 $\begin{tabular}{ll} Methanone, & [4-[[2-[(phenylsulfonyl)methyl]phenyl]methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- & (CA INDEX NAME) \\ \end{tabular}$

RN 871489-09-7 CAPLUS
CN Pyrroliding, 1-[4-[(4-benzoylphenyl)methoxy]benzoyl]-2-(1pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

RN 871489-10-0 CAPLUS
CN Methanone, [4-(3-pyridinylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

RN 871489-11-1 CAPLUS

CN Methanone, [4-(4-pyridinylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-12-2 CAPLUS CN Methanone, [4-[[4-(

Methanone, [4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-13-3 CAPLUS
CN Benzamide, N,N-dimethyl-4-[[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

RN 871489-14-4 CAPLUS
CN Benzamide, N,N-dimethyl-3-[[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

RN 871489-15-5 CAPLUS
CN Benzamide, N-methyl-4-[[4-[[2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]carbonyl]phenoxy]methyl]- (CA INDEX NAME)

RN 871489-16-6 CAPLUS
CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[6(trifluoromethyl)-3-pyridinyl]methoxy[phenyl]- (CA INDEX NAME)

RN 871489-17-7 CAPLUS CN Methanone, [4-[(6-ch

CN Methanone, [4-[(6-chloro-3-pyridinyl)methoxy]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-18-8 CAPLUS

CN Methanone, [4-[(4-methoxypheny1)methoxy]phenyl][2-(1-pyrrolidinylmethy1)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-19-9 CAPLUS CN Methanone, [2-(1-pyrro

Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[2-(trifluoromethoxy)phenyl]methoxy]phenyl]- (CA INDEX NAME)

RN 871489-20-2 CAPLUS

CN Benzoic acid, 4-[[4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-, methyl ester (CA INDEX NAME)

RN 871489-21-3 CAPLUS
CN Methanone, [4-[[4-(1-pyrrolidinylcarbonyl)phenyl]methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl] (CA INDEX NAME)

RN 871489-22-4 CAPLUS

CN Methanone, [4-[[4-(1-azetidinylcarbonyl)phenyl]methoxy]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-23-5 CAPLUS

N Methanone, [4-[14-(1-piperidinylcarbonyl)phenyl]methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

PAGE 1-A

RN 871489-24-6 CAPLUS

CN Methanone, [2-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-25-7 CAPLUS

CN Benzamide, 4-[[3-fluoro-4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-N,N-dimethyl- (CA INDEX NAME)

RN 871489-26-8 CAPLUS
CN Methanone, [2-fluoro-4-(4-pyridinylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-27-9 CAPLUS
CN Methanone, [4-[(6-chloro-3-pyridiny1)methoxy]-2-fluoropheny1][2-(1-pyrrolidiny1)methy1)-1-pyrrolidiny1] (CA INDEX NAME)

RN 871489-28-0 CAPLUS
CN Methanone, [2-fluoro-4-[(4-fluoropheny1)methoxy]pheny1][2-(1-pyrrolidinylmethy1)-1-pyrrolidiny1]- (CA INDEX NAME)

RN 871489-29-1 CAPLUS
CN Methanone, [3-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-30-4 CAPLUS
CN Benzamide, 4-[[2-fluoro-4-[[2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]carbonyl]phenoxy]methyl]-N,N-dimethyl- (CA INDEX NAME)

RN 871489-31-5 CAPLUS
CN Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4[(trifluoromethyl)thio]phenyl]methoxylphenyl]- (CA INDEX NAME)

871489-32-6 CAPLUS RN CN

Methanone, [2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl][4-[[4-[(trifluoromethyl)sulfonyl]phenyl]methoxy]phenyl]- (CA INDEX NAME)

871489-33-7 CAPLUS RN

 $\label{eq:methanone} \begin{tabular}{ll} Methanone, & [4-(2-pyridinylmethoxy)phenyl] (2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME) \end{tabular}$ CN

871489-34-8 CAPLUS CN

Methanone, [2-fluoro-4-(2-pyridinylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-35-9 CAPLUS CN

Methanone, [2,6-difluoro-4-(2-pyridinylmethoxy)phenyl][2-(1pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

871489-36-0 CAPLUS CN

Methanone, [2-fluoro-4-(2-pyridinylmethoxy)phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-37-1 CAPLUS CN

Methanone, [4-(2-pyrazinylmethoxy)phenyl][2-(1-pyrrolidinylmethyl)-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-39-3 CAPLUS CN

Methanone, [2,6-difluoro-4-(2-pyridinylmethoxy)phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-40-6 CAPLUS CN

Methanone, [2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl][4-(2pyridinylmethoxy)phenyl]- (CA INDEX NAME)

RN 871489-41-7 CAPLUS
CN Methanone, [2-fluoro-4-[(6-methyl-2-pyridinyl)methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-43-9 CAPLUS
CN Methanone, [4-1(6-methyl-2-pyridinyl)methoxy]phenyl][2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl)methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl]methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl]methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl]methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl]methoxy]phenyl[2-1(2-methyl-1-pyrrolidinyl]methoxy]phenyl[2-1(2

RN 871489-44-0 CAPLUS

CN Methanone, [2-fluoro-4-[[4-(methylsulfonyl)phenyl]methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl] (CA INDEX NAME)

RN 871489-45-1 CAPLUS

CN Methanone, [2-fluoro-4-[(4-fluorophenyl)methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

RN 871489-46-2 CAPLUS
CN Methanone, [2-fluoro-4-[[2-[(phenylsulfonyl)methyl]phenyl]methoxy]phenyl][
2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl] (CA INDEX NAME)

RN 871489-47-3 CAPLUS
CN Methanone, [2-fluoro-4-[(6-methoxy-3-pyridinyl)methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl)- (CA INDEX NAME)

RN 871489-48-4 CAPLUS

CN Methanone, [4-[[2-fluoro-4-[(trifluoromethyl)sulfonyl]phenyl]methoxy]phenyl][2-[(2-methyl-1-pyrrolidinyl)methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

IT 464900-48-9P 871489-49-5P 871489-50-8P 871489-59-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of (pyrrolidinylmethyl)pyrrolidine derivs. as histamine H3 receptor antagonists)

RN 464900-48-9 CAPLUS

CN Methanone, (3-fluoro-4-hydroxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME) Absolute stereochemistry.

- RN 871489-49-5 CAPLUS

Absolute stereochemistry.

- RN 871489-50-8 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-(1-pyrrolidinylmethyl)-1pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 871489-59-7 CAPLUS
- CN Methanone, (2-fluoro-4-hydroxyphenyl)[(2S)-2-[[(2R)-2-methyl-1pyrrolidinyl]methyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.

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7 13 19
ring nodes :
1 2 3 4 5 6 8 9 10 11 12 14 15 16 17 18 20 21 22 23 24 25
chain bonds :
5-7 7-8 9-13 13-14 15-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 10-11 11-12 14-15 14-18 15-16
16-17 17-18 20-21 20-25 21-22 22-23 23-24 24-25
exact/norm bonds :
7-8 8-9 8-12 9-10 9-13 10-11 11-12 13-14 14-15 14-18 15-16 16-17 17-18
exact bonds :
5-7 15-19
normalized bonds :
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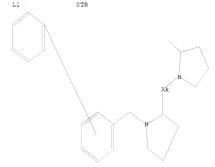
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13:

Type of chain : Linear Saturation : Saturated Number of Carbon Atoms : less than 7

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- AN 2005:1123882 CAPLUS
- DN 143:405796
- TI Preparation of aroyl-substituted pyrrolidines as histamine H3 receptor ligands
- IN Beavers, Lisa Selsam; Finley, Don Richard; Finn, Terry Patrick; Gadski, Robert Alan; Hipskind, Philip Arthur; Hornback, William Joseph; Jesudason, Cynthia Darshini; Pickard, Richard Todd; Takakuwa, Takako; Vaught, Grant Mathews
- PA Eli Lilly and Company, USA
- SO PCT Int. Appl., 179 pp.
- CODEN: PIXXD2
- DT Patent

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| PI | WO | | | | | | | | | WO 2005-US10240 | | | | | | | | | |
| | | W: | ΑE, | AG, | AL, | AM, | AT, | AU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
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| | | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | | SY, | TJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | | RW: | BW, | GH, | GM, | KE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
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| | | | MR, | NE, | SN, | TD, | TG | | | | | | | | | | | | |
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